CLAIMS

1. Use of a multifunctional β-adrenergic receptor antagonist (β-blocker) compound comprising
   i) a β-blocker component,
   ii) at least one reactive oxygen species (ROS) scavenger component, not identical with said β-blocker component, and optionally
   at least one nitric oxide (NO) donor component
   in the preparation of a medicament.

2. Use of a multifunctional β-blocker compound according to claim 1, comprising
   i) a β-blocker component,
   ii) at least one ROS-scavenger component, not identical with said β-blocker component, and
   iii) at least one nitric oxide (NO) donor component.

3. Use according to claim 1, wherein said β-blocker component is selected from the group consisting of compounds used in medicine as β-adrenergic blockers, derivatives thereof, and compounds exhibiting affinity for β-receptors.

4. Use according to claim 1, wherein said ROS-scavenger component comprises an antioxidant reacting with ROS selected from the group consisting of superoxide, hydroxyl radicals, peroxynitrite, and hypochlorite.

5. Use according to claim 1, wherein said NO-donor comprises a group capable of providing nitric oxide in a form selected from uncharged and charged.

6. Use according to claim 4, wherein said ROS-scavenger component comprises a substituted N-oxide free radical.

7. Use according to claim 4, wherein the N-atom of said N-oxide is a member of 3 to 7 membered heterocyclic ring.

8. Use according to claim 5, wherein said NO donor component comprises a group selected from —ONO_2, —ONO, —SNO, and —NONOate.

9. Use of a multifunctional β-blocker compound according to any one of claims 1 to 6 in the preparation of a medicament for treating or preventing a disorder selected from the group consisting of disorders in which treatment with a β-antagonist is indicated, disorders associated with oxidative stress and free radical injury, and disorders in which treatment with a smooth muscle relaxant is indicated.

10. Use according to claim 1 in the preparation of a medicament for treating or preventing a disorder selected from the group consisting of cardiovascular, pulmonary, neurological, hormonal, and ocular.

11. Use of a multifunctional β-adrenergic receptor antagonist according to claim 1 in the preparation of a medicament for treating or preventing a disorder selected from the group consisting of ischemia, ischemia-reperfusion tissue injury, acute and chronic inflammatory conditions, angina, atherosclerosis, impotence, hypertension, pulmonary hypertension, systemic hypertension, obesity or pregnancy-induced hypertension, palpitations, arrhythmias, cardiomyopathy, congestive heart failure, hyperthyroidism, anxiety, tremor, migraine, alcohol withdrawal, tachycardia, thyrotoxicosis, pheochromocytoma, esophageal varices, glaucoma, conditions associated with excess intraocular fluid, diabetes mellitus, and carcinogenesis.

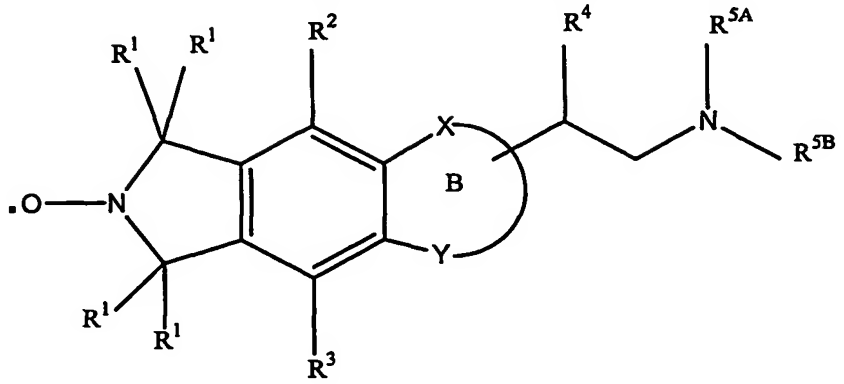
12. Use according to claim 9, further comprising treating or preventing an adverse effect caused by β-antagonists.

13. Use according to claim 12, wherein said adverse effect is selected from the group consisting of induced congestive hear failure, induced or exacerbated heart failure, acute myocardial infarction or cardiomegaly, blockage of β_2-receptors in bronchial smooth muscle, increasing airway resistance, fatigue, sleep disturbances, memory loss and depression, and complications associated with diabetes.

14. Use according to claim 1, wherein said β-blocker component is derived from a β-antagonist used in medicine selected from the group consisting of Acebutolol, Alprenolol (Aptin), Amosulalol, Arotinolol, Atenolol (Atehexal), Befunolol, Betaxolol, Bevantolol, Bisprolol (Zebeta), Bopindolol, Bucumolol, Bufetolol, Bufuralol, Bunitrolol, Bupranolol, Butidrine Hydrochloride, Butofilolol, Carazolol, Carteolol, Carvedilol (Coreg, Dilatrend, Kredex), Carvedilol, Celiprolol, Cetamolol, Cloranolol, Dilevalol, Disopryamide (Norpace), Epanolol, Esmolol, Indenolol, Labetalol, Levobunolol, Mepindolol, Metipranolol, Metohexal (Meijoprolol), Metoprolol (Betaloc), Metoprolol, Moprolol, Nadolol, Nadoxolol, Nebivolol, Nifenalol, Nipradilol, Oxprenolol (Corbeton), Penbutolol, Pindolol, Practolol, Pronethalol, Propranolol, Quinidine Gluconate (Quinaglute), Quinidine Polygalacturonate (Cardioquin), Quinidine Sulfate (Quinidex, Cin-quin), Sotalol (Sotocor, Sotahexal), Sulfinalol, Talinolol, Tertatolol, Tilisolol, Timolol, Toliprolol, Toprol XL, or Xibenolol.

15. Use according to claim 1, wherein said β-blocker component is derived from Carteolol, Oxprenolol, Nadolol, Propranolol, Metoprolol, Metipranol, Pindolol, Betaxolol, Atenolol, Esmololol, Levobunolol, Labetalol, and Tomolol.

16. Use according to claim 1, wherein said compound has Formula I



wherein R^1 may be independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryloxy, substituted or unsubstituted aryl, or substituted or unsubstituted heterocycles, wherein the optional substituent may be a group capable of donating NO;

R^2 and R^3 may be independently hydrogen or $(CH_2)_nX^1$, n being from 0 to 4, and X^1 being H, OH, =O (where n is not 0) or a group capable of donating NO, or

R^2 and R^3 may be independently selected from H, OH, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted aryl, and substituted or unsubstituted heterocycle, wherein the optional substituent may be a group capable of donating NO;

R^4 may be $(CH_2)_mX^2$, m being from 0 to 4, and X^2 being H, SH, OH, =O (where m is not 0) or a group capable of donating NO, or R^4 may be H, SH, OH, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted

acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryloxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, or substituted or unsubstituted heterocycle, wherein the optional substituent may be a group capable of donating NO;

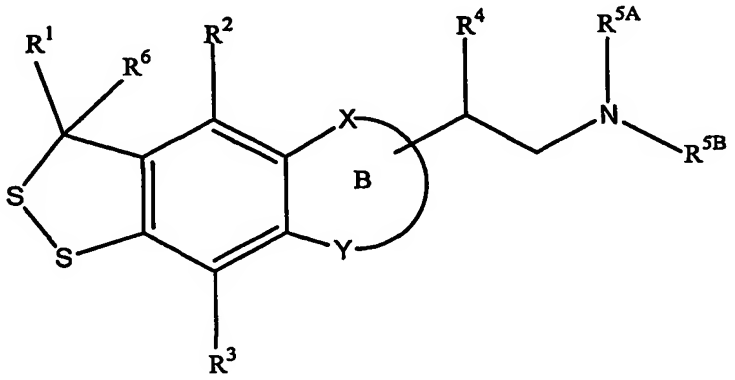
R^{5A} and R^{5B} may be, independently, $(CH_2)_p X^3$, p being from 0 to 4, and X^3 being H, OH, =O (where p is not 0) or a group capable of donating NO, or R^{5A} and R^{5B} may be, independently, H, OH, =O, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, or substituted or unsubstituted heterocycle, wherein the optional substituent may bea group capable of donating NO;

and wherein

X and Y may independently be –CH=CH-, $(CH_2)_q$ while q is from 0 to 3, O, S, NH, CH_2, or NR^7, wherein R^7 may be hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, substituted or unsubstituted heterocycle, wherein the optional substituent may be

a group capable of donating NO; and where ring B is a 5-, 6- or 7-membered ring.

17. Use according to claim 1, wherein said compound has Formula II



wherein R^1 and R^6 may be independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryloxy, substituted or unsubstituted aryl, or substituted or unsubstituted heterocycle, wherein the optional substituent may be a group capable of donating NO;

R^2 and R^3 may be independently hydrogen, or $(CH_2)_nX^1$ while n being from 0 to 4, and X^1 being H, OH, =O (where n is not 0) or a group capable of donating NO, or R^2 and R^3 may be independently H, OH, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryloxy,substituted or unsubstituted aryl, or substituted or unsubstituted heterocycles, wherein the optional substituent may be a group capable of donating NO;

R^4 may be $(CH_2)_mX^2$ while m being from 0 to 4, and X^2 being H, SH, OH, =O (where m is not 0) or a group capable of donating NO, or R^4

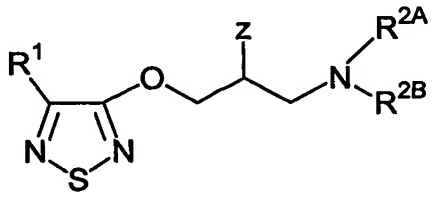
may be H, SH, OH, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, or substituted or unsubstituted heterocycles, wherein the optional substituent may be a group capable of donating NO;

R^{5A} and R^{5B} may be, independently, $(CH_2)_p X^3$ while p being from 0 to 4, and X^3 being H, OH, =O (where p is not 0) or a group capable of donating NO, or R^{5A} and R^{5B} may be, independently, H, OH, =O, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, or substituted or unsubstituted heterocycles, wherein the optional substituent may be a group capable of donating NO; and

X and Y may independently be –CH=CH-, $(CH_2)_q$ while q being from 0 to 3, O, S, NH, CH_2, or NR^7, wherein R^7 may be hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted

aryl, substituted or unsubstituted aryloxy, substituted or unsubstituted heterocycles; wherein the optional substituent may be a group capable of donating NO; and wherein ring B is a 5-, 6- or 7-membered ring.

18. Use according to claim 1, wherein said compound has Formula IA

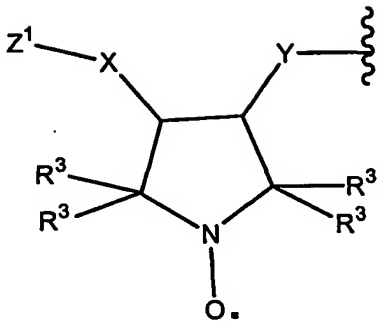


wherein R^1 is a group comprising a substituted N-oxide free radical, wherein the N-oxide free radical is contained within a 5- or 6-membered ring, and optionally further comprises a group capable of donating NO, or R^1 is a group selected from IIA, IIIA, IVA, and VA as shown below, where the groups of Formulae IIA-VA are linked to Formula IA at position R^1, through substituent Y of Formulae IIA-VA;

Z is halo, nitrato, nitroso, nitrile, hydroxyl, thiol, sulfonamido, amino, guanadino, isoguanadino, cyano, isocyano, and carboxyl; and

R^{2A} and R^{2B} are independently hydrogen, =O, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, phenyl, substituted phenyl or heterocyclyl, wherein the optional substitution comprises one or more groups capable of donating NO group, or one or more groups being ROS scavengers; and wherein

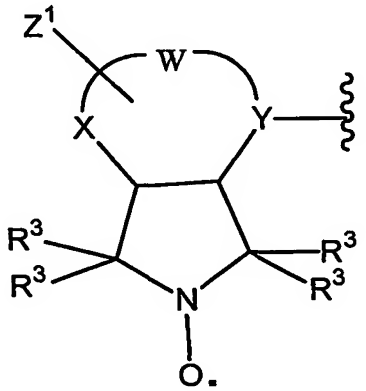
IIA has structure



in which R^3 is independently hydrogen or C_1-C_4 alkyl;

Y is selected from $(CH_2)_n$ while n being from 0 to 3, O, NH, S, substituted or unsubstituted acyl, acyloxy, alkynyl, alkene, alkyl, alkoxy, aryloxy, arylamine, arylsulphide, arylsulphone, or arylsulfurdioxide; X is selected from $(CH_m)_p$ while m being 2 or 3 and p from 0 to 3, O, -N=N-, S, NH, CH_3N-, substituted or unsubstituted acyl, acyloxy, alkynyl, alkene, alkyl, alkoxy, aryloxy, arylamine, arylsulphide, arylsulphone, arylsulfurdioxide; and Z^1 is H OH, ONO, ONO_2, SNO;

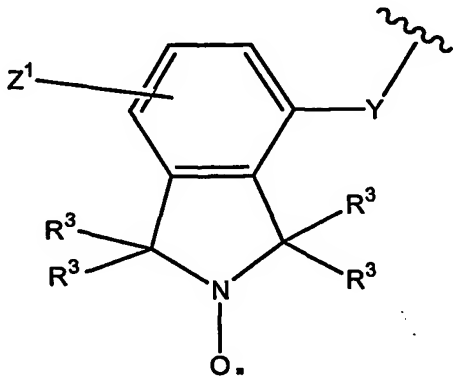
IIIA has structure



in which R^3 is independently hydrogen or C_1-C_4 alkyl;

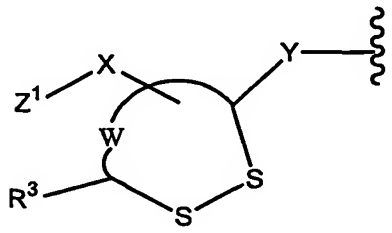
W is is $(CH_m)_n$ while m being from 0 to 2 and n being from 0 to 3, where W may be substituted or unsubstituted.; X is selected from (CH_p) while p 1 or 2, O, -N=N-, S, and NH, or X is absent; Y is (CH) or N; and Z^1 is selected from H, OH, CH_2ONO, CH_2CH_2ONO, CH_2ONO_2, $CH_2CH_2ONO_2$, ONO, ONO_2, SNO, and NONOate; wherein IIIA may include 1-3 Z^1 substituents on the ring to which Z^1 is attached;

IVA has structure



in which R^3 may be independently hydrogen or C_1-C_4 alkyl; Y may be CH_2, O, NH, S, substituted or unsubstituted alkylene, or it can be absent; Z^1 may be CH_2ONO, CH_2CH_2ONO, CH_2ONO_2, $CH_2CH_2ONO_2$, NO, NO_2, ONO, ONO_2, SNO, or OH, wherein IVA may include 1-3 of the Z^1 substituents on the ring to which Z^1 is attached;

VA has structure



in which R^3 is hydrogen or C_1-C_4 alkyl; W is $(CH_m)_n$ while m being from 0 to 2 and n being from 0 to 3, where W can be substituted or unsubstituted; X is selected from (CH_p) while

p being 1 or 2, O, -N=N-, S, NH, CH_3N-,and substituted or unsubstituted alkylene, or X is absent; Y is selected from CH_2, O, NH, S or substituted or unsubstituted alkylene, or Y is absent; and Z^1 is OH, ONO, ONO_2, $N(NO)_2$, or SNO.

19. Use according to any on of claims 16 to 18, wherein R^{5A} , R^{5B} , R^{2A} and R^{2B} are selected as shown in Tables I', II', III', and IV'

Table I'

R^{5A}/R^{5B} or R^{2A}/R^{2B}
CH_3-
C_5H_9-
$C_6H_5SO_2O$-
CH_3CO-
$C_6H_5SO_2NH$-
$(C_6H_5SO_2)_2N$-
C_4H_8
C_5H_{10}
C_5H_{11}

Table II'

R^{5A} or R^{2A}	R^{5B} or R^{2B}
CH_3-	-$C(CH_3)_3$
$(CH_3)_2CH$-	-$C(CH_3)_3$
$CH_3CH_2CH_2$-	-$C(CH_3)_3$
CH_3-	-$CH(CH_3)_2$
CH_3-	-$C(CH_3)_2CH_2OH$
$CH_3CH_2CH_2CH_2$-	-$C(CH_3)_3$
CH_3-	4-CF_3-Ph-
CH_3CH_2-	-$C(CH_3)_3$
CH_3-	-CH_3
CH_3-	3,4,5-tri(CH_3O-)Ph-

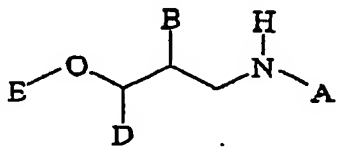
Table III'

R^{5A} or R^{2A}	R^{5B} or R^{2B}
CH_3CH_2-	-$C(CH_3)_3$
$CH_3CH_2CH_2$-	-$C(CH_3)_3$
$CH_3CH_2CH_2CH_2$-	-$C(CH_3)_3$
$CH_3CH_2OC(O)CH_2CH_2$-	-$C(CH_3)_3$
$CH_3CH_2OC(O)CH_2$-	-$C(CH_3)_3$

Table IV'

R^{5A} or R^{2A}	R^{5B} or R^{2B}
CH_3-	-$C(CH_3)_3$
CH_3-O-CH_2CH_2-	-$C(CH_3)_3$
CH_3-	-$CH_2CH_2CH(SCH_3)CH_3$

20. Use according to any on of claims 15 to 18, wherein said multifunctional β-blocker compound has formula III



wherein A is C_1-C_4 alkyl or ROS-scavenger group;

B is selected from OH, O-NO_2 and SH;

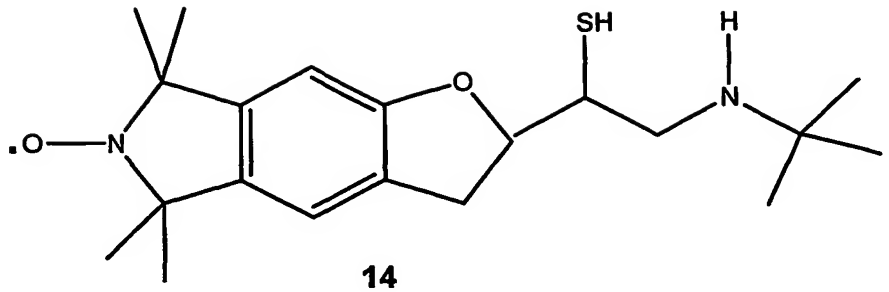
D is H, or D is $(CH_2)_2$ and is connected to E and together with the neighboring atoms forms a 5-6 membered ring consisting of carbon atoms and one oxygen atom; and

E is phenyl condensed with optionally substituted phenyl or optionally substituted 5-6 membered heterocycle containing one of -N- , -O-, and –S-S-; or

E is thiadiazolyl substituted with morpholinyl or pyrrolidinyl-N-oxide, said morpholinyl being optionally substituted with one of OH, NO-donor group, and ROS-scavenger group, and said pyrrolidinyl-N-oxide group being bound to said thiadiazolyl vial -S- or via $-CH_2-O-$;

with the proviso that at least one of A and E comprises a ROS-scavenger group.

21. Use according to claim 20, wherein said compound is selected from the group consisting of compounds nos. 14, 15, 20-75, 1', 2', and 7'-24' as shown below.



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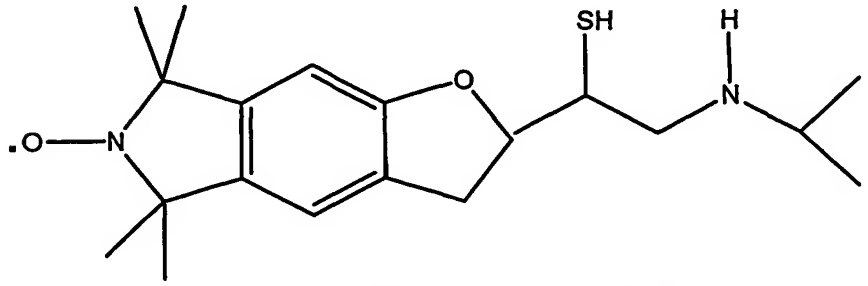

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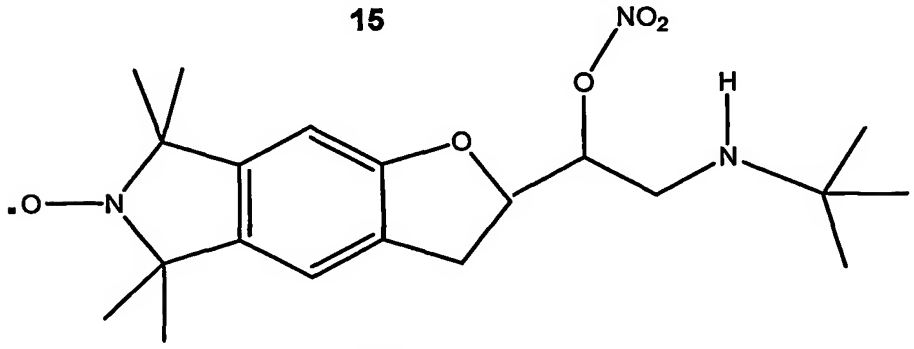

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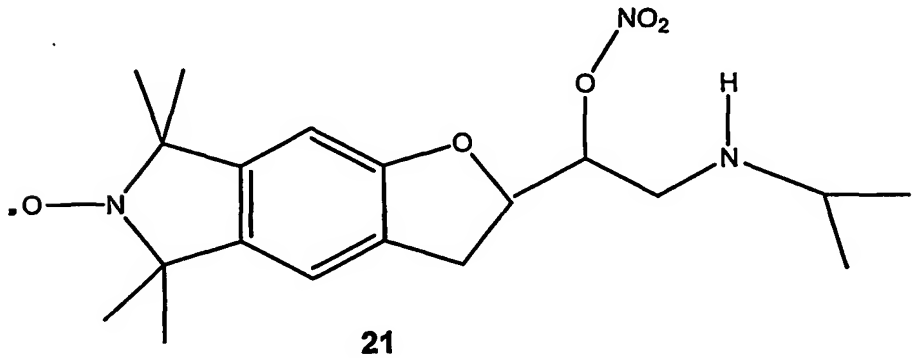

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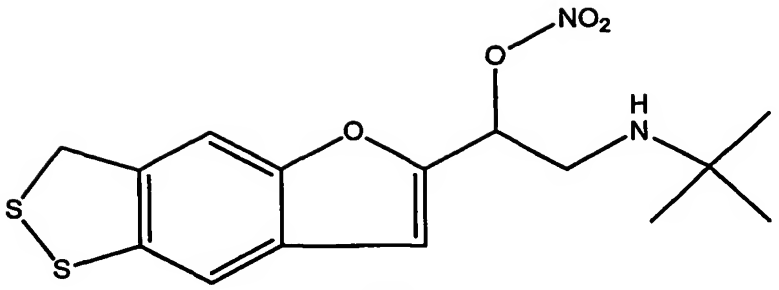

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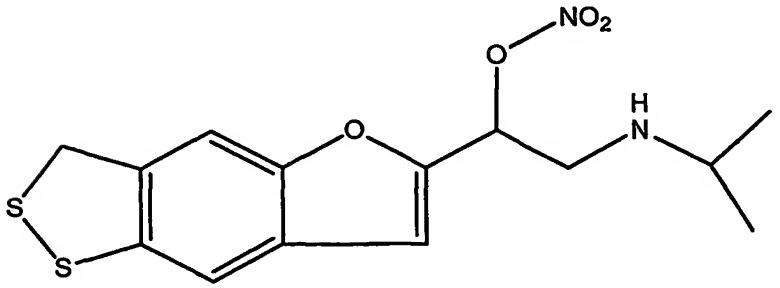

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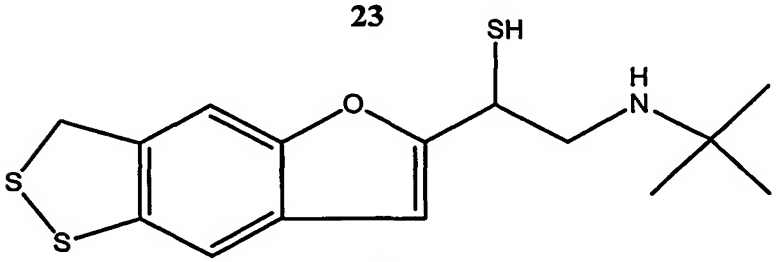

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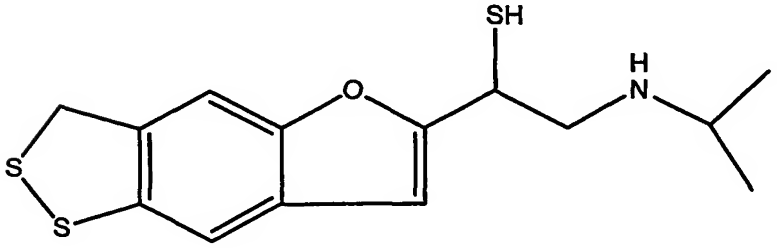

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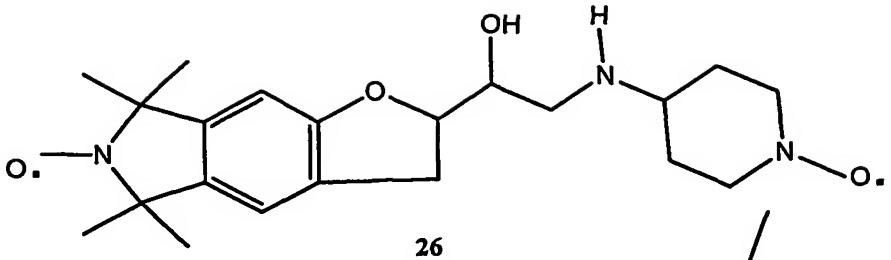

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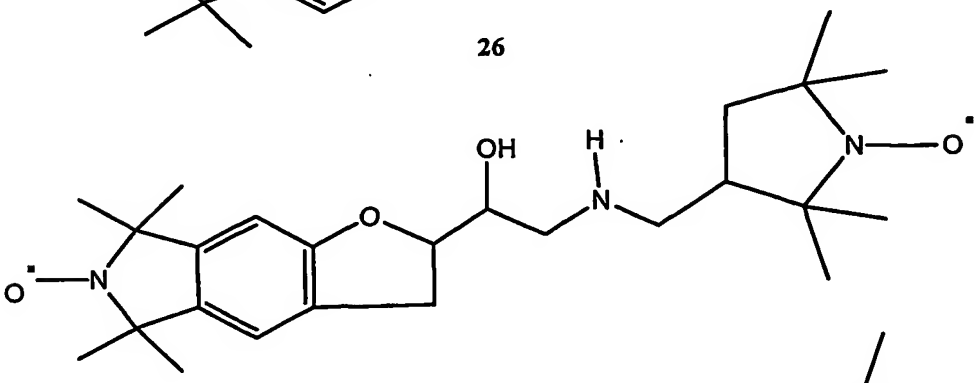

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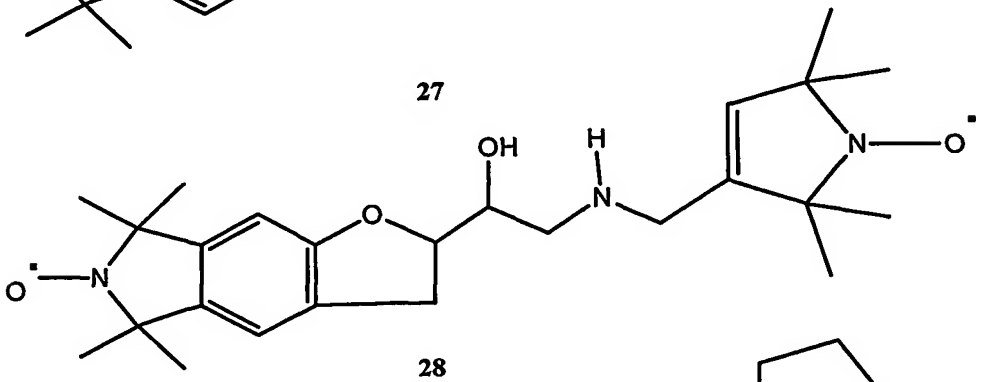

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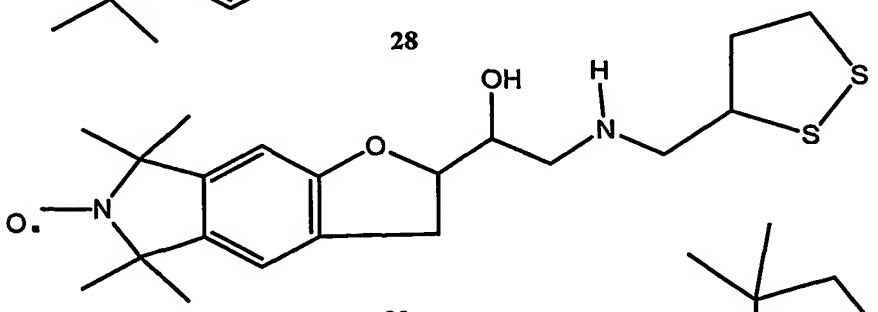

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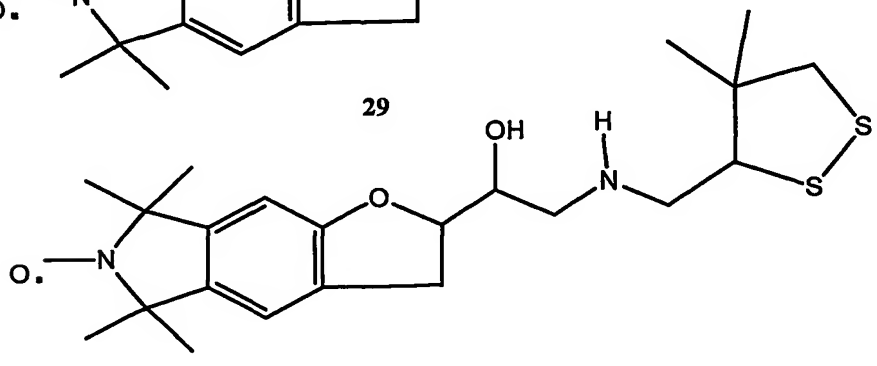

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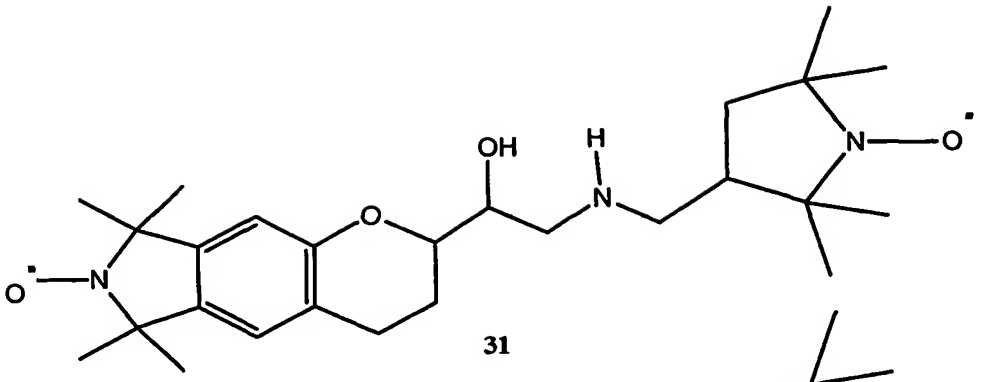

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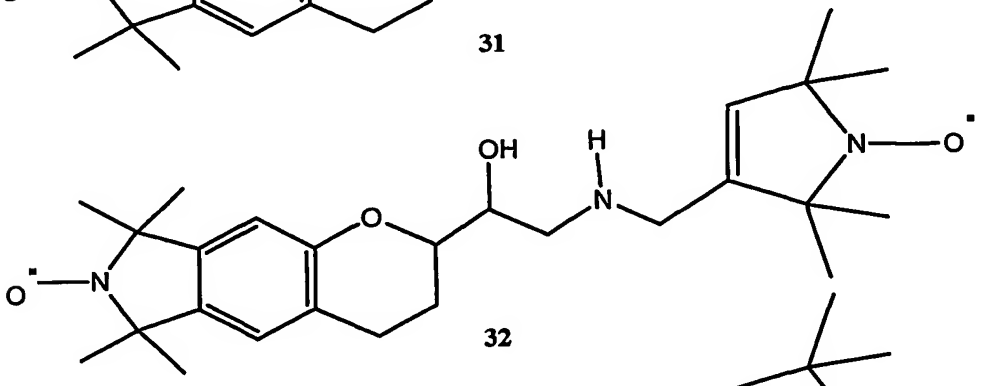

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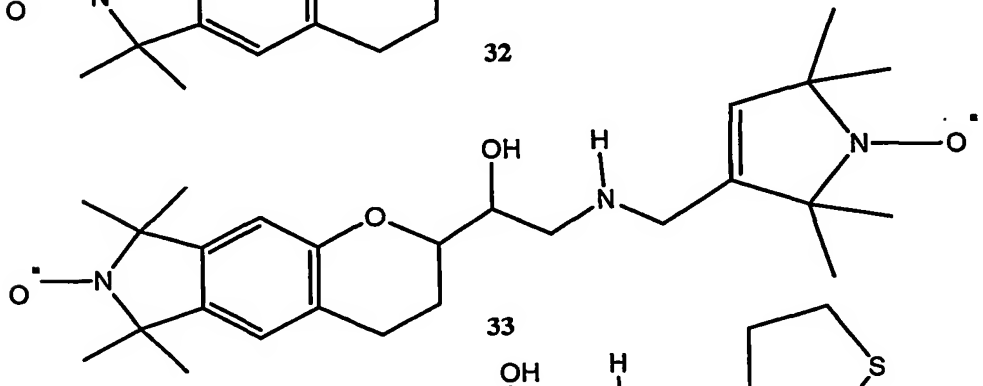

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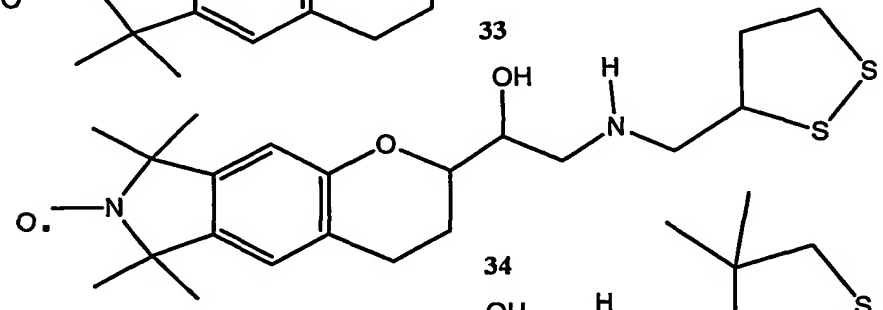

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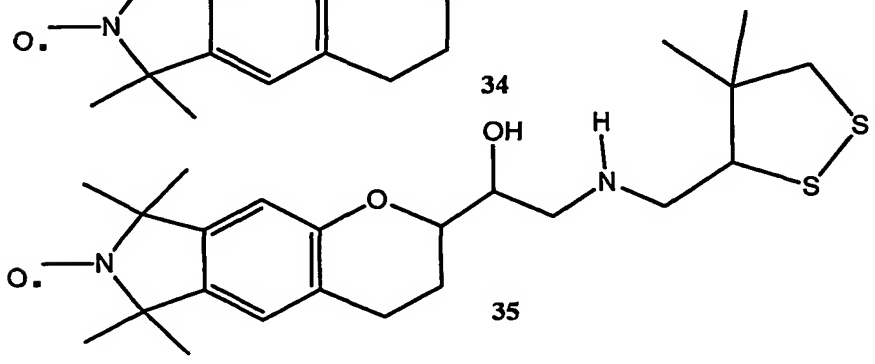

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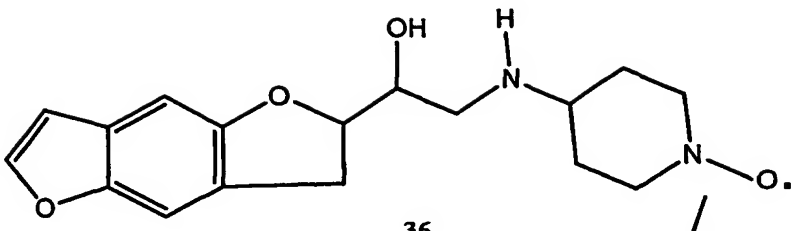

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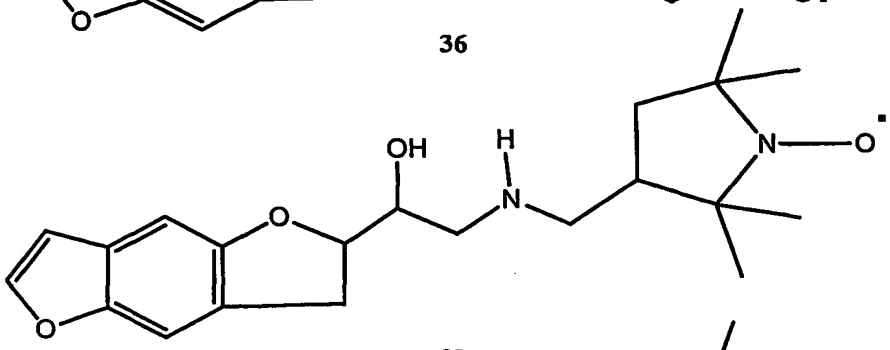

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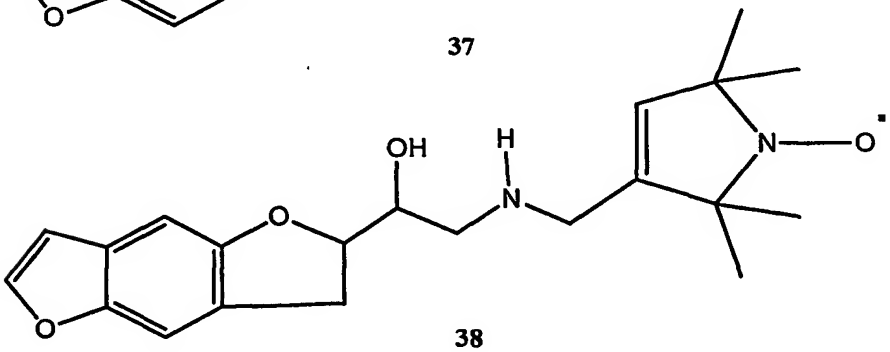

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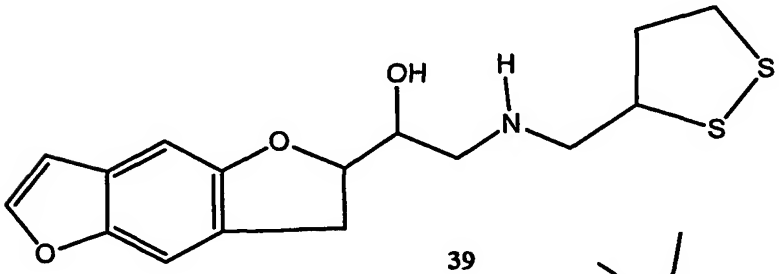

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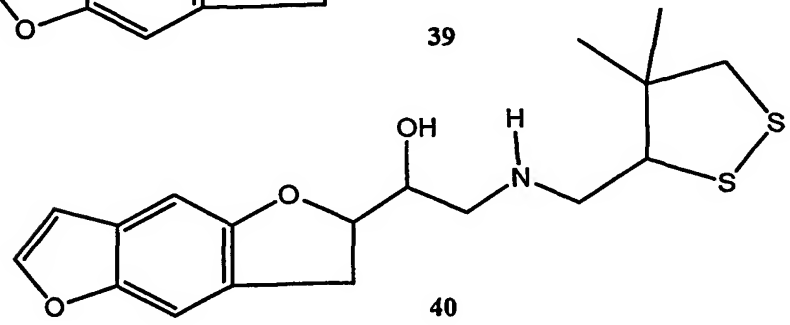

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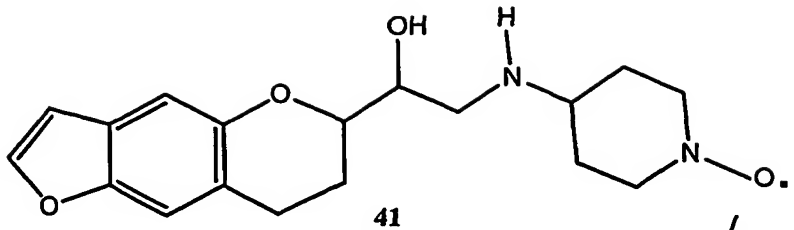

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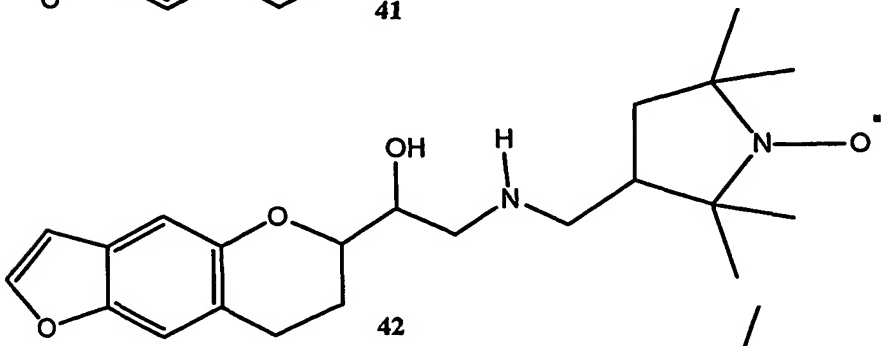

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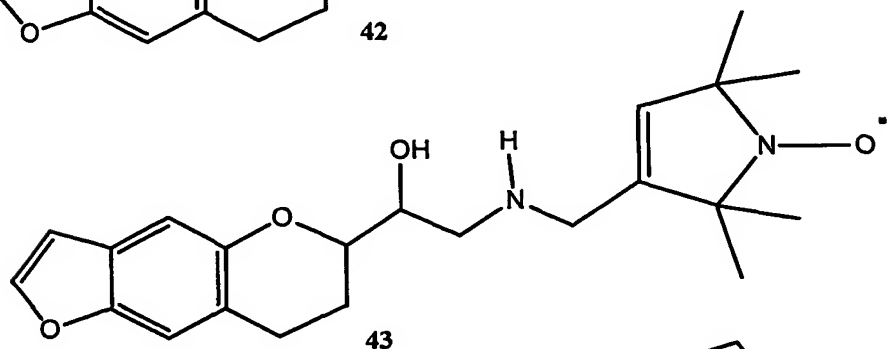

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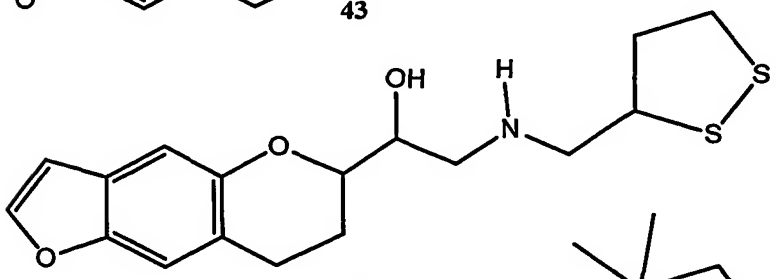

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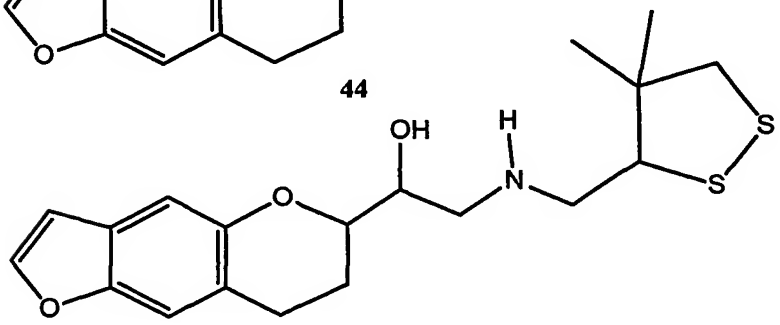

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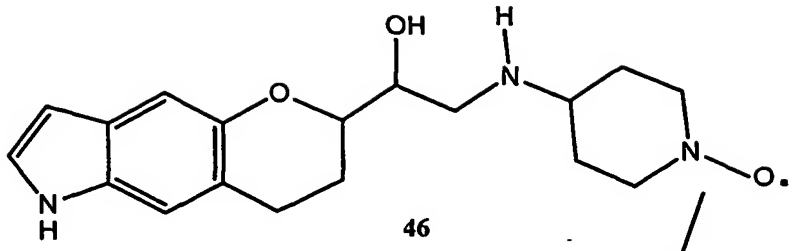

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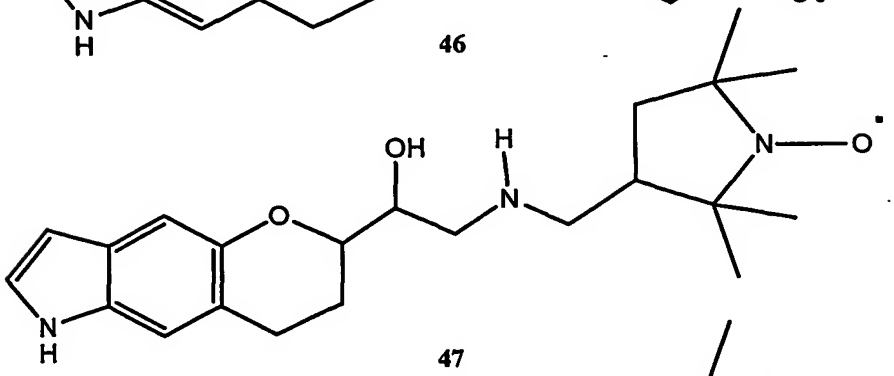

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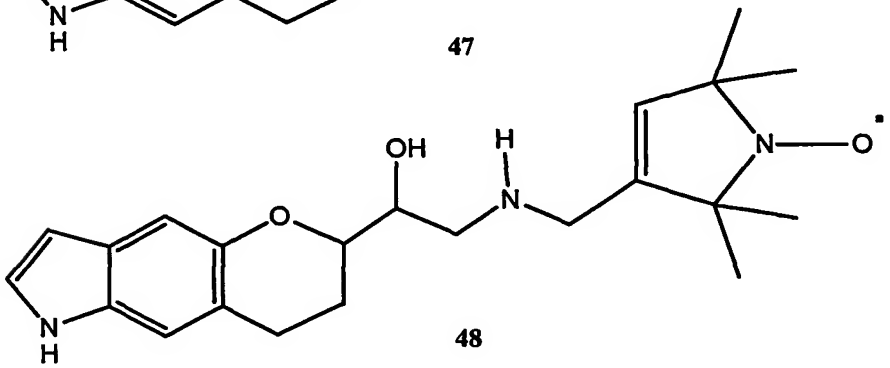

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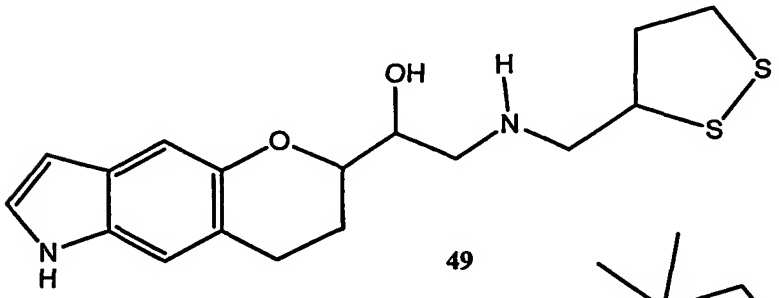

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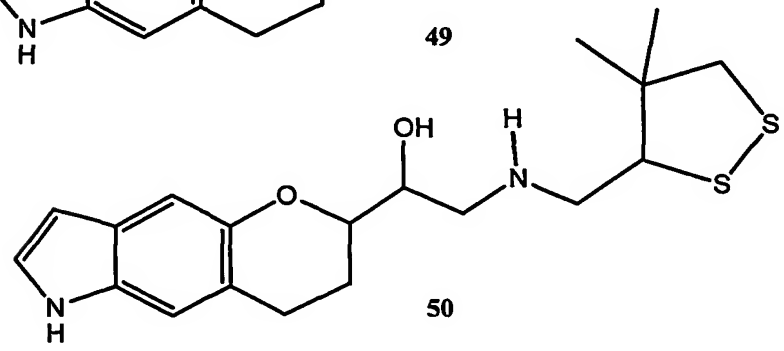

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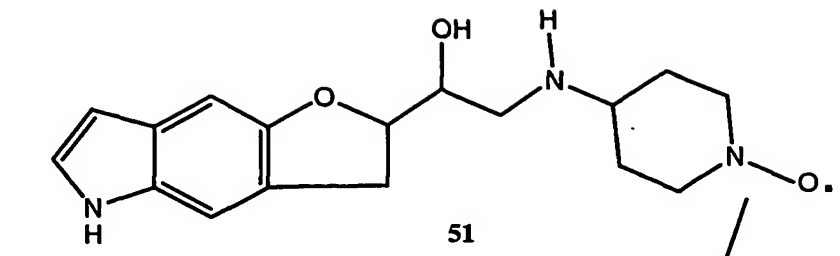

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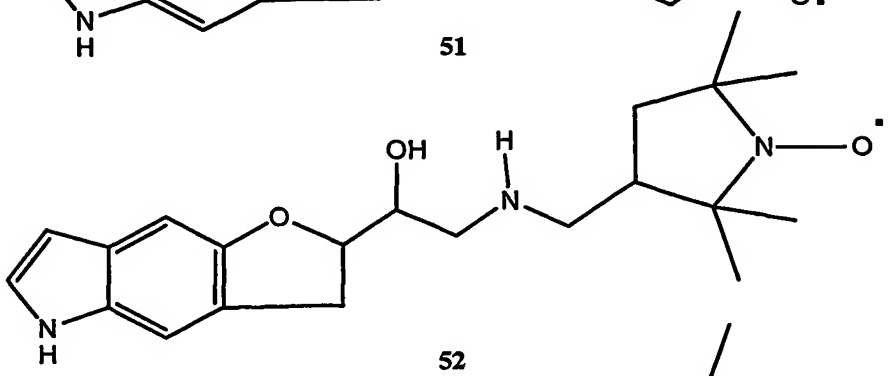

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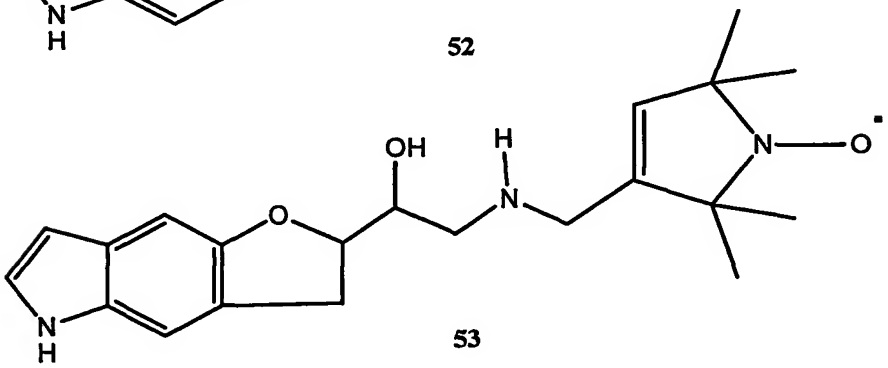

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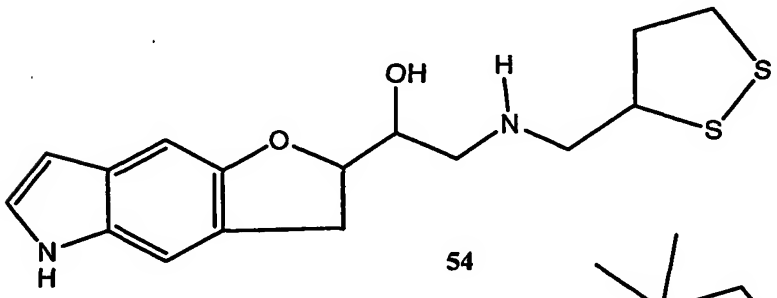

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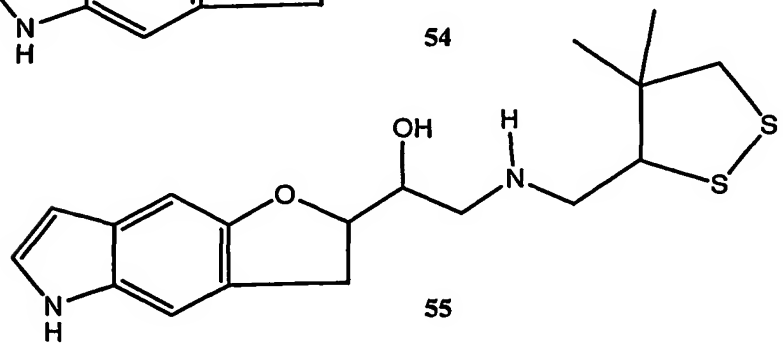

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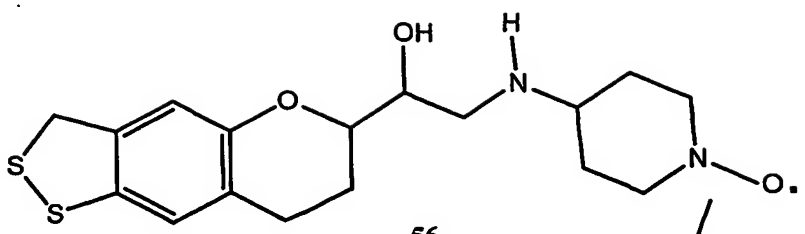

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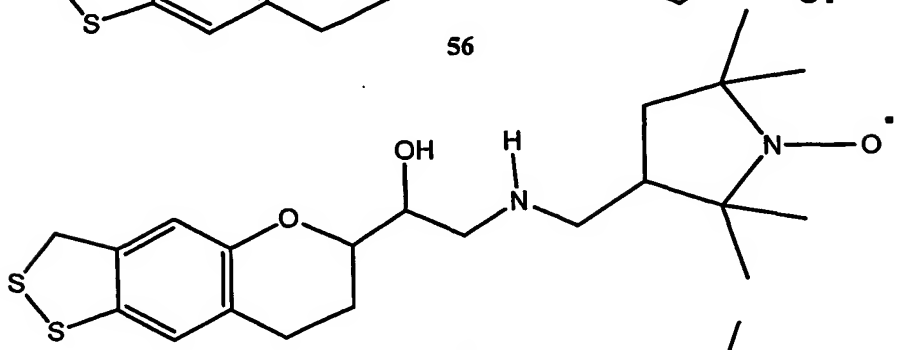

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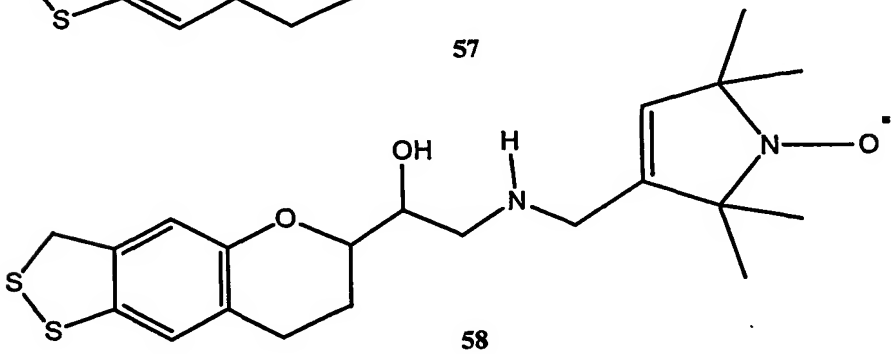

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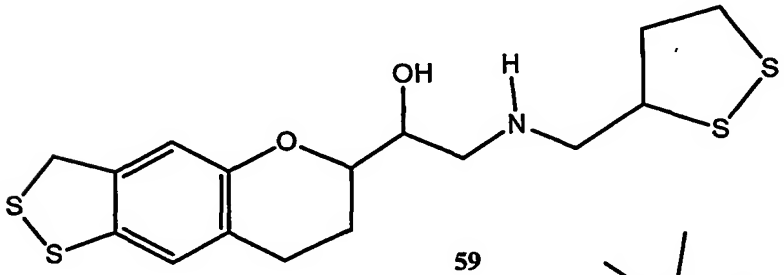

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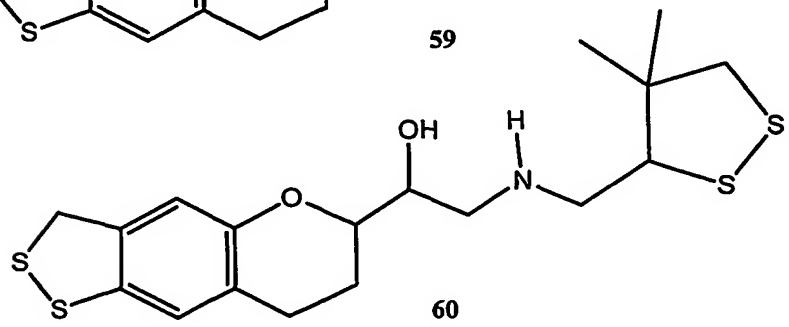

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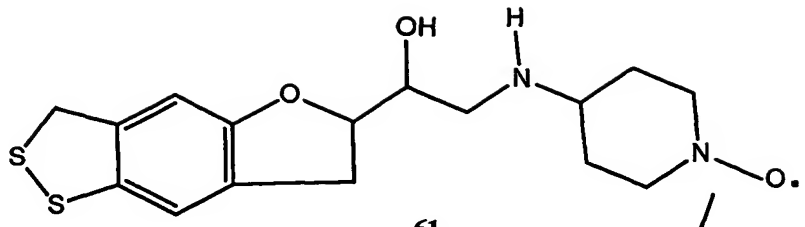

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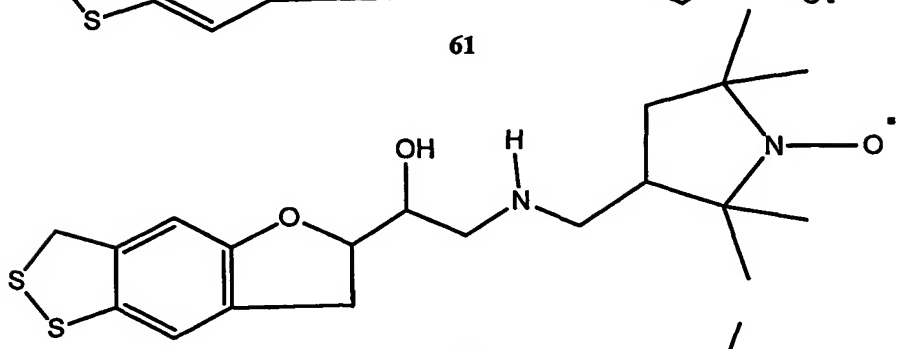

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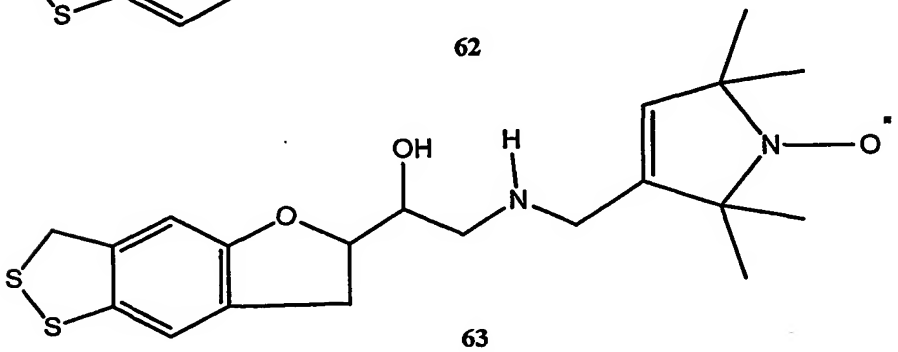

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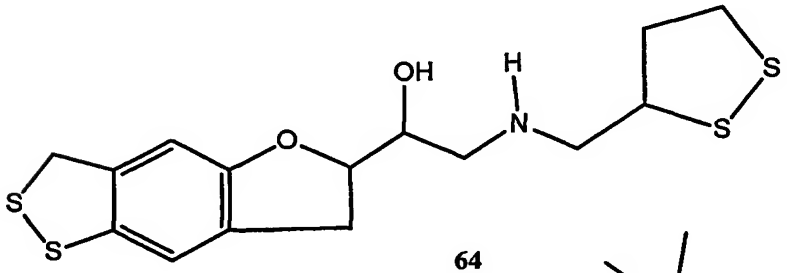

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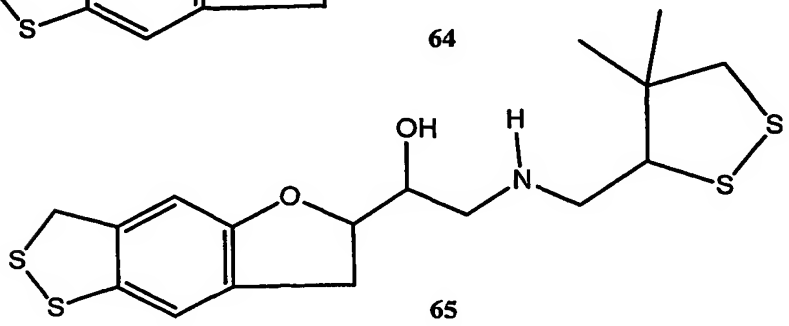

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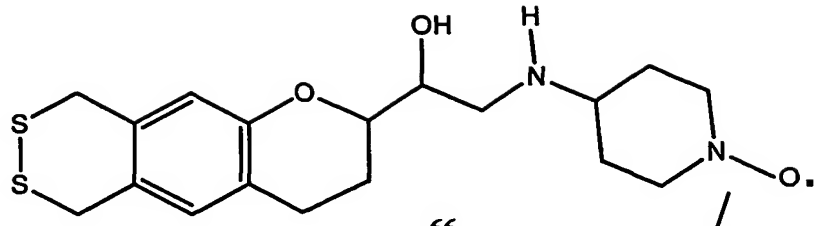

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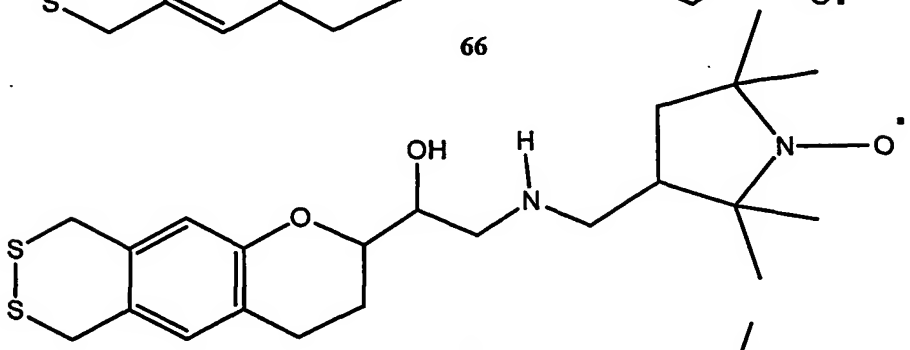

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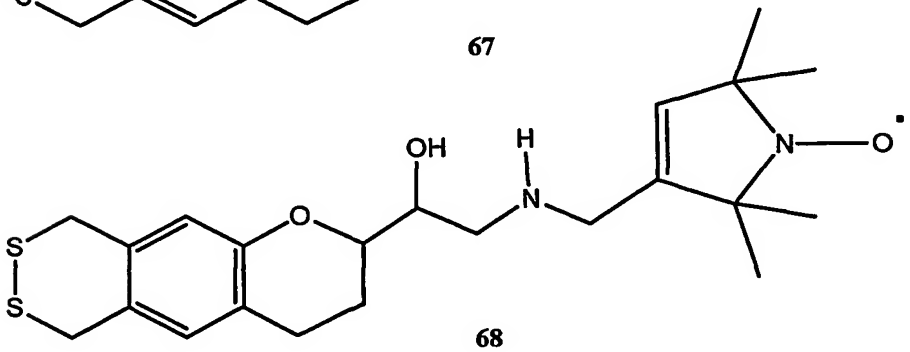

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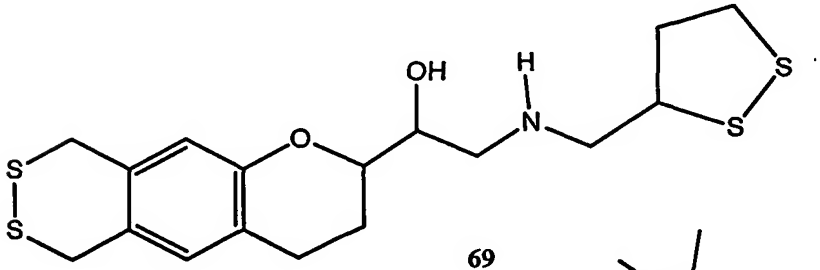

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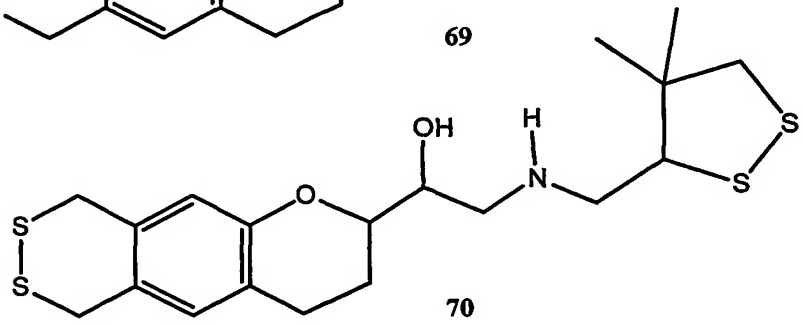

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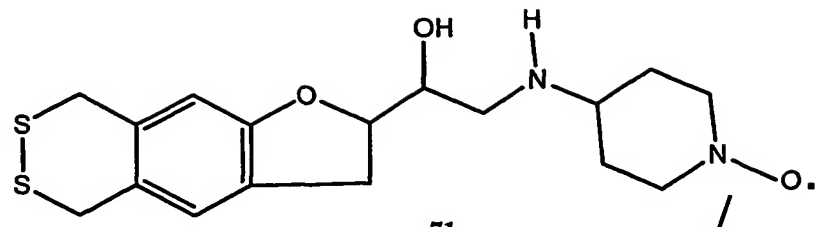

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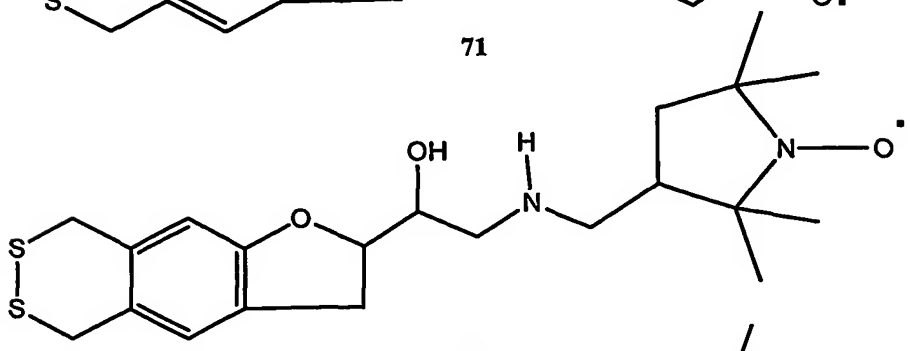

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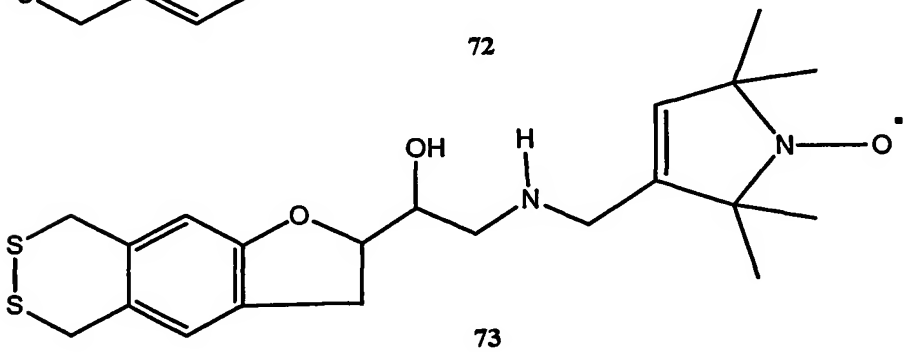

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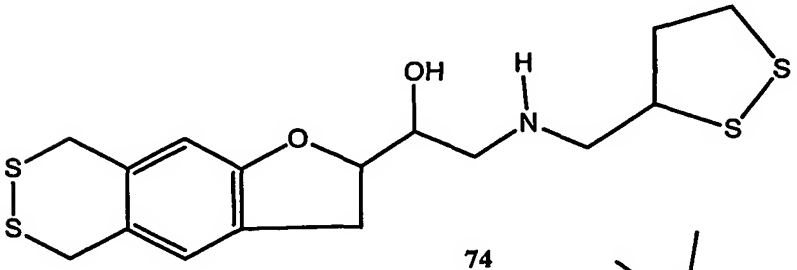

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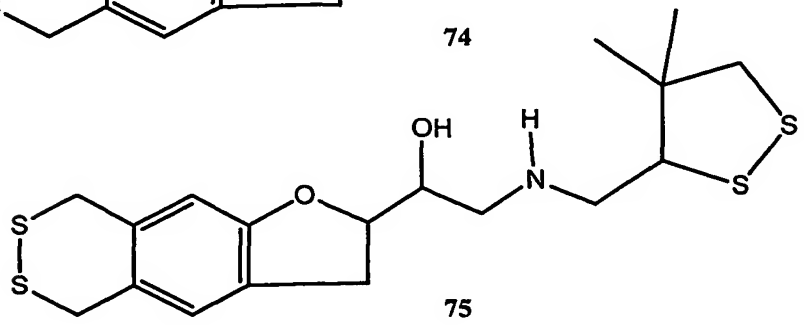

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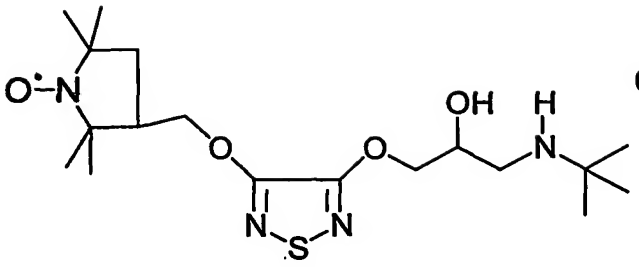

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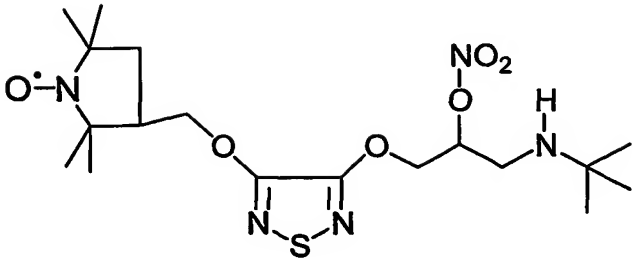

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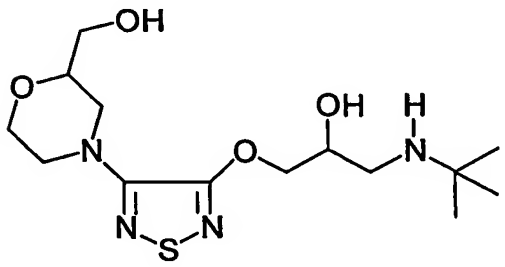

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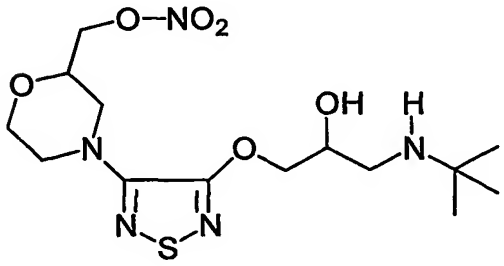

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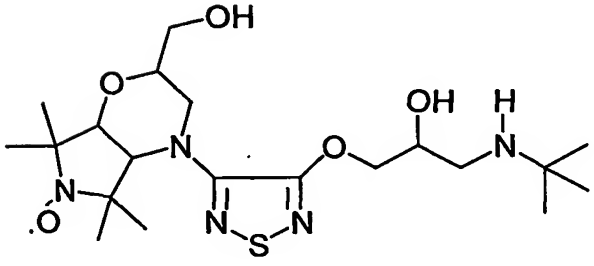

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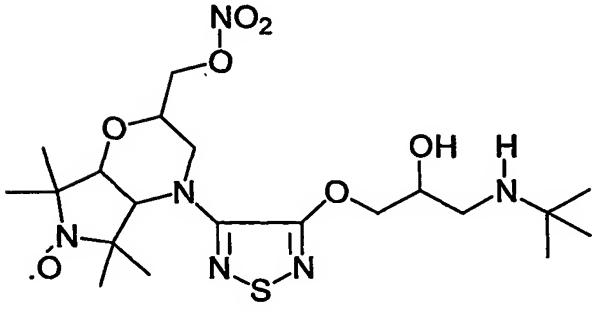

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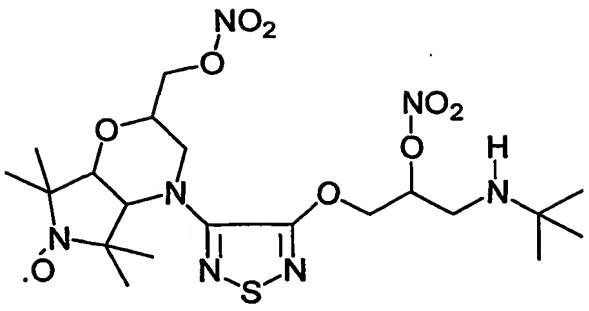

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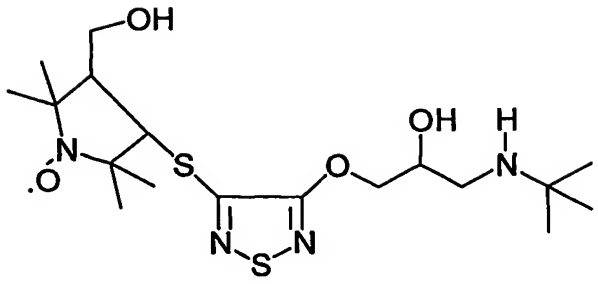

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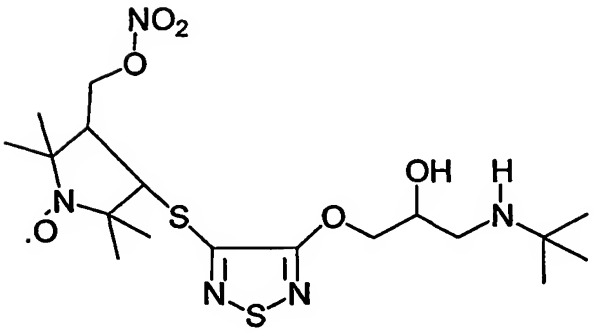

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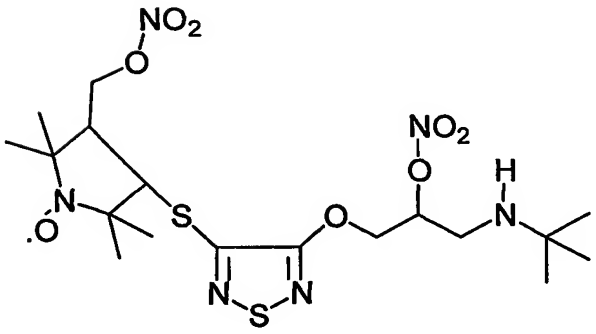

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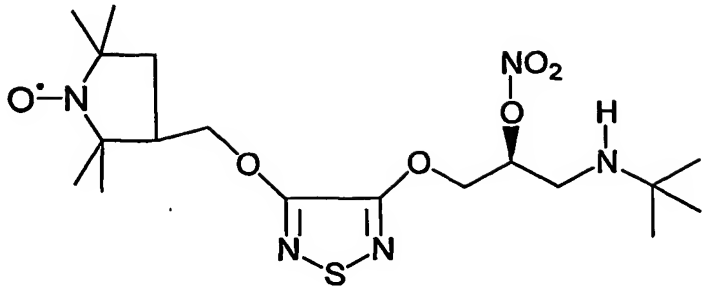

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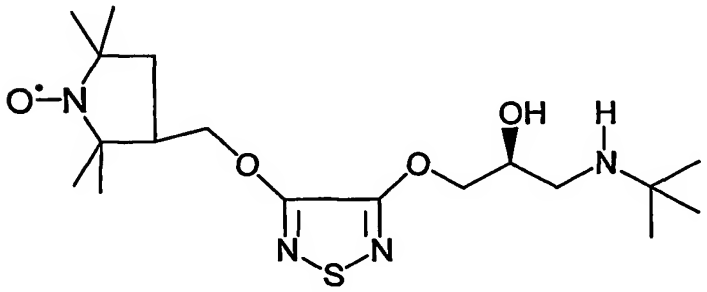

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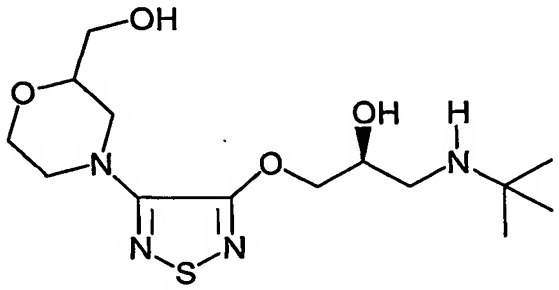

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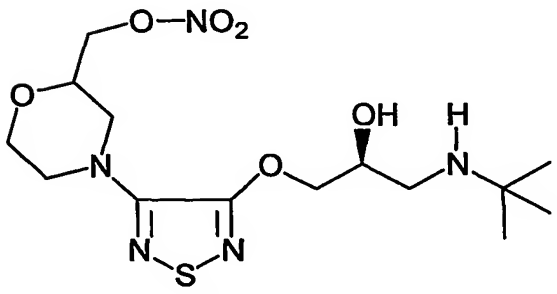

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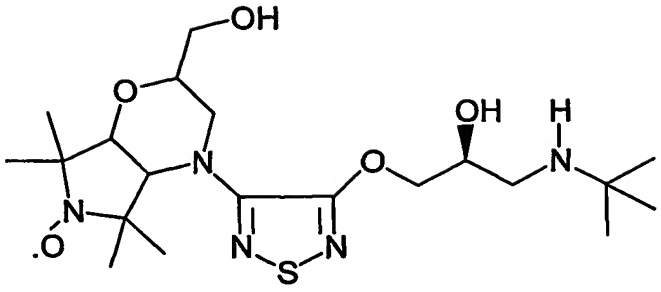

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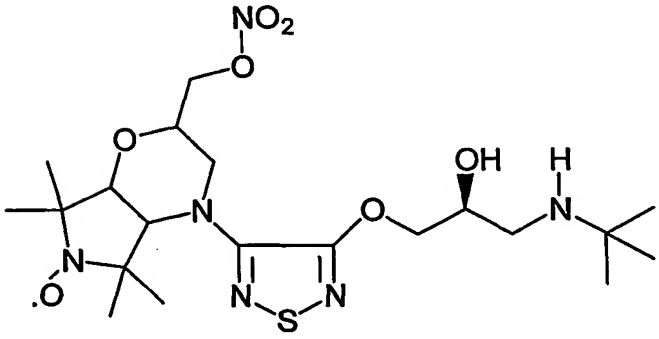

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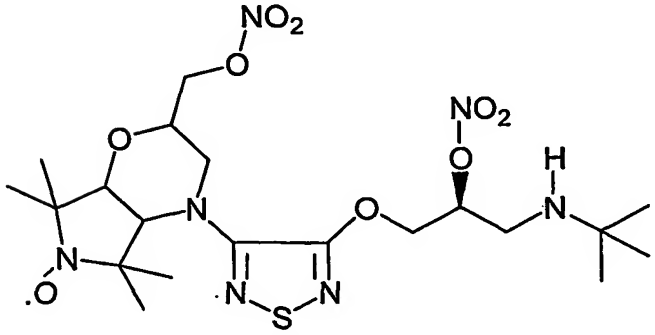

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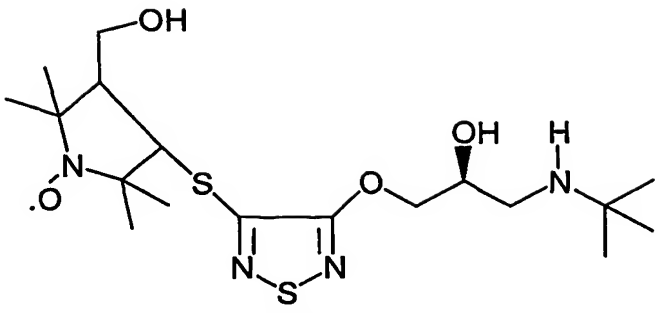

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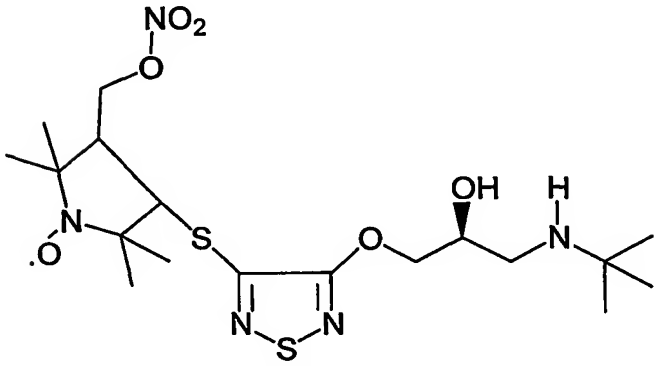

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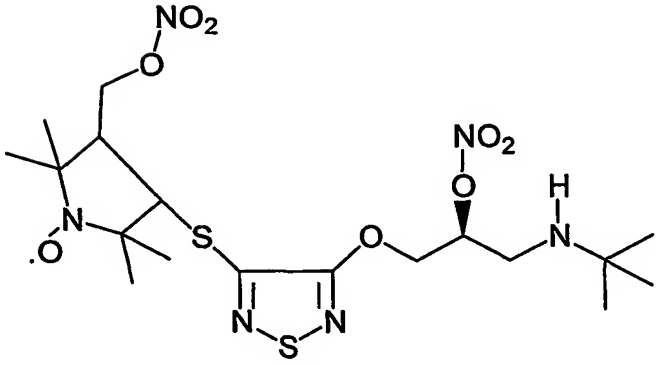

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22. A a multifunctional β-adrenergic receptor antagonist (β-blocker) compound comprising
    i) a β-blocker component,
    ii) at least one reactive oxygen species (ROS) scavenger component, not identical with said β-blocker component, and optionally
    iii) at least one nitric oxide (NO) donor component
    for use as a medicament.

23. A method of treating or preventing a disorder selected from the group consisting of disorders in which treatment with a β-antagonist is indicated, disorders associated with oxidative stress and free radical injury, and disorders in which treatment with a smooth muscle relaxant is indicated, in a mammal in need thereof, comprising administering to said mammal an effective amount of a multifunctional β-blocker compound comprising i) a β-blocker component, ii) at least one reactive oxygen species (ROS) scavenger component, and optionally iii) at least one nitric oxide (NO) donor component.

24. A method according to claim 23, wherein said disorder is selected from the group consisting of ischemia, ischemia-reperfusion tissue injury, acute and chronic inflammatory conditions, angina, atherosclerosis, impotence, hypertension, pulmonary hypertension, systemic hypertension, obesity or pregnancy-induced hypertension, palpitations, arrhythmias, cardiomyopathy, congestive heart failure, hyperthyroidism, anxiety, tremor, migraine, alcohol withdrawal, tachycardia, thyrotoxicosis, pheochromocytoma, esophageal varices, glaucoma, conditions associated with excess intraocular fluid, diabetes mellitus, and carcinogenesis.

25. A method according to claim 23, wherein said administration or treatment is selected from the group consisting of topical, oral, and parenteral.

26. A method according to claim 23, wherein said administration or treatment is selected from the group consisting of suppository, by way of injection, and by way of infusion.

27. A method according to claim 23, wherein said multifunctional β-blocker compound is administered by a route selected from intramuscular, intraperitoneal, intravenous, ICV, intracisternal injection or infusion, subcutaneous injection, implant, inhalation spray, nasal, vaginal, rectal, sublingual, and urethral.

28. A method according to claim 23, wherein said mammal is human.

29. A multifunctional β-adrenergic receptor antagonist compound comprising
    i) a β-blocker component,
    ii) at least one ROS-scavenger component, not identical with said β-blocker component, and optionally
    iii) at least one NO-donor component.

30. A multifunctional antagonist according to claim 29, wherein said β-blocker component is selected from the group consisting of compounds used in medicine as β-adrenergic blockers, derivatives thereof, and compounds exhibiting affinity for β-receptors.

31. A multifunctional antagonist according to claim 29, wherein said ROS-scavenger component comprises an antioxidant reacting with ROS selected from the group consisting of superoxide, hydroxyl radicals, peroxynitrite, and hypochlorite.

32. A multifunctional antagonist according to claim 29, wherein said ROS-scavenger component comprises any of alkenyl group, aryl group, substituted aryl group, sulfhydryl, dithiol in oxidized or reduced form, and group that is converted in vivo into a sulfhydryl in its oxidized or reduced form.

33. A multifunctional antagonist according to claim 29, wherein said ROS-scavenger component comprises a substituted N-oxide free radical, or a substituted or unsubstituted lipoic acid moiety,

34. A multifunctional antagonist according to claim 29, wherein said ROS-scavenger component comprises N-oxide free radical, wherein the nitrogen of said N-oxide free radical is within a 3-, 4-, 5-, 6- or 7-membered ring, wherein the ring may be substituted or unsubstituted with straight or branched alkyl groups, alkoxy groups or groups capable of donating NO.

35. A multifunctional antagonist according to claim 29, wherein said NO-donor comprises a group capable of providing nitric oxide in a form selected from uncharged and charged.

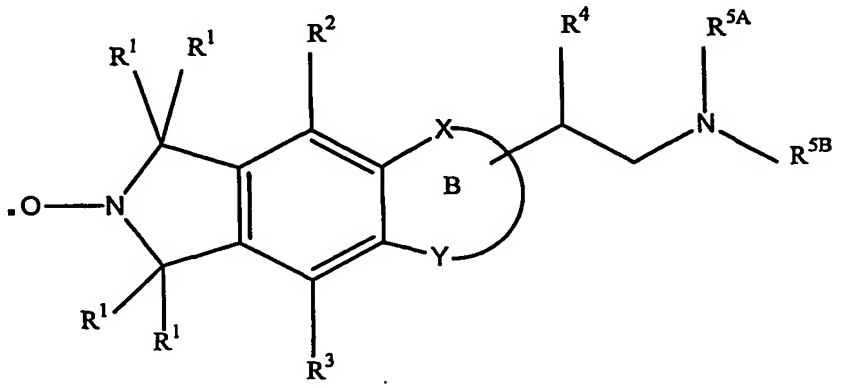
36. A multifunctional antagonist according to claim 29, wherein said NO-donor component comprises a group selected from —ONO_2, —ONO, —SNO, and —NONOate.

37. A multifunctional antagonist according to claim 29, wherein said β-blocker component comprises a β-antagonist used in medicine selected from the group consisting of Acebutolol, Alprenolol (Aptin), Amosulalol, Arotinolol, Atenolol (Atehexal), Befunolol, Betaxolol, Bevantolol, Bisprolol (Zebeta), Bopindolol, Bucumolol, Bufetolol, Bufuralol, Bunitrolol, Bupranolol, Butidrine Hydrochloride, Butofilolol, Carazolol, Carteolol, Carvedilol (Coreg, Dilatrend, Kredex), Carvedilol, Celiprolol, Cetamolol, Cloranolol, Dilevalol, Disopryamide (Norpace), Epanolol, Esmolol, Indenolol, Labetalol, Levobunolol, Mepindolol, Metipranolol, Metohexal (Meijoprolol), Metoprolol (Betaloc), Metoprolol, Moprolol, Nadolol, Nadoxolol, Nebivolol, Nifenalol, Nipradilol, Oxprenolol (Corbeton), Penbutolol, Pindolol, Practolol, Pronethalol, Propranolol, Quinidine Gluconate (Quinaglute), Quinidine Polygalacturonate (Cardioquin), Quinidine Sulfate (Quinidex, Cin-quin),

Sotalol (Sotocor, Sotahexal), Sulfinalol, Talinolol, Tertatolol, Tilisolol, Timolol, Toliprolol, Toprol XL, and Xibenolol.

38. A multifunctional antagonist according to claim 29, wherein said β-blocker component is derived from Carteolol, Oxprenolol, Nadolol, Propranolol, Metoprolol, Metipranol, Pindolol, Betaxolol, Atenolol, Esmololol, Levobunolol, Labetalol, and Tomolol,

39. A multifunctional antagonist according to claim 29, wherein said compound has Formula I



wherein R^1 may be independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryloxy, substituted or unsubstituted aryl, or substituted or unsubstituted heterocycles, wherein the optional substituent may be a group capable of donating NO;

R^2 and R^3 may be independently hydrogen or $(CH_2)_nX^1$, n being from 0 to 4, and X^1 being H, OH, =O (where n is not 0) or a group capable of donating NO, or

R^2 and R^3 may be independently selected from H, OH, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted

cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted aryl, and substituted or unsubstituted heterocycle, wherein the optional substituent may be a group capable of donating NO;

R^4 may be $(CH_2)_mX^2$, m being from 0 to 4, and X^2 being H, SH, OH, =O (where m is not 0) or a group capable of donating NO, or R^4 may be H, SH, OH, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryloxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, or substituted or unsubstituted heterocycle, wherein the optional substituent may bea group capable of donating NO;

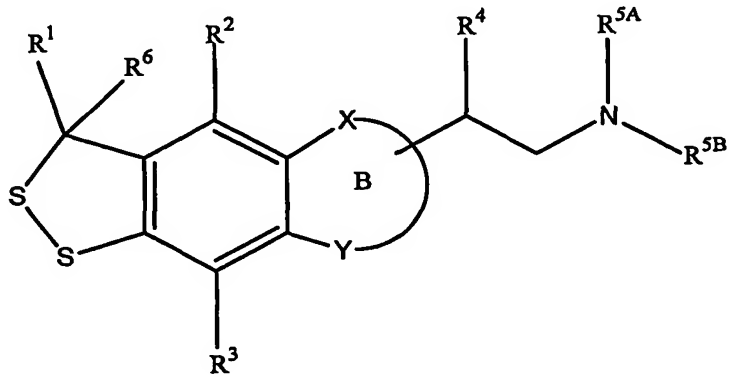
R^{5A} and R^{5B} may be, independently, $(CH_2)_p X^3$, p being from 0 to 4, and X^3 being H, OH, =O (where p is not 0) or a group capable of donating NO, or R^{5A} and R^{5B} may be, independently, H, OH, =O, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, or substituted or unsubstituted heterocycle, wherein the optional substituent may bea group capable of donating NO;

and wherein

X and Y may independently be –CH=CH-, $(CH_2)_q$ while q is from 0 to 3, O, S, NH, CH_2, or NR^7, wherein R^7 may be hydrogen, substituted

or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, substituted or unsubstituted heterocycle, wherein the optional substituent may be a group capable of donating NO, and where ring B is a 5-, 6- or 7-membered ring.

40. A multifunctional antagonist according to claim 29, wherein said compound has Formula II



wherein R^1 and R^6 may be independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryloxy, substituted or unsubstituted aryl, or substituted or unsubstituted heterocycle, wherein the optional substituent may be a group capable of donating NO;

R^2 and R^3 may be independently hydrogen, or $(CH_2)_nX^1$ while n being from 0 to 4, and X^1 being H, OH, =O (where n is not 0) or a group

capable of donating NO, or R^2 and R^3 may be independently H, OH, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryloxy,substituted or unsubstituted aryl, or substituted or unsubstituted heterocycles, wherein the optional substituent may be a group capable of donating NO;

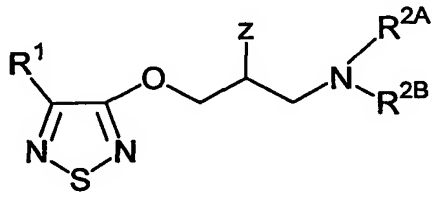
R^4 may be $(CH_2)_mX^2$ while m being from 0 to 4, and X^2 being H, SH, OH, =O (where m is not 0) or a group capable of donating NO, or R^4 may be H, SH, OH, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, or substituted or unsubstituted heterocycles, wherein the optional substituent may be a group capable of donating NO;

R^{5A} and R^{5B} may be, independently, $(CH_2)_p X^3$ while p being from 0 to 4, and X^3 being H, OH, =O (where p is not 0) or a group capable of donating NO, or R^{5A} and R^{5B} may be, independently, H, OH, =O, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, or substituted or

unsubstituted heterocycles, wherein the optional substituent may be a group capable of donating NO; and

X and Y may independently be –CH=CH-, $(CH_2)_q$ while q being from 0 to 3, O, S, NH, CH_2, or NR^7, wherein R^7 may be hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted acyl, substituted or unsubstituted acyloxy, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted arylamine, substituted or unsubstituted arylsulphide, substituted or unsubstituted arylsulphone, substituted or unsubstituted arylsulfurdioxide, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, substituted or unsubstituted heterocycles; wherein the optional substituent may be a group capable of donating NO; and wherein ring B is a 5-, 6- or 7-membered ring.

41. A multifunctional antagonist according to claim 29, wherein said compound Formula IA

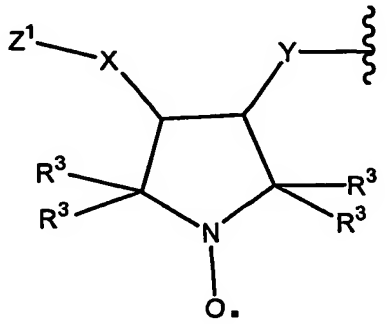


wherein R^1 is a group comprising a substituted N-oxide free radical, wherein the N-oxide free radical is contained within a 5- or 6-membered ring, and optionally further comprises a group capable of donating NO, or R^1 is a group selected from IIA, IIIA, IVA, and VA as shown below, where the groups of Formulae IIA-VA are linked to Formula IA at position R^1, through substituent Y of Formulae IIA-VA;

Z is halo, nitrato, nitroso, nitrile, hydroxyl, thiol, sulfonamido, amino, guanadino, isoguanadino, cyano, isocyano, and carboxyl; and

R^{2A} and R^{2B} are independently hydrogen, =O, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, phenyl, substituted phenyl or heterocyclyl, wherein the optional substitution comprises one or more groups capable of donating NO group, or one or more groups being ROS scavengers; and wherein

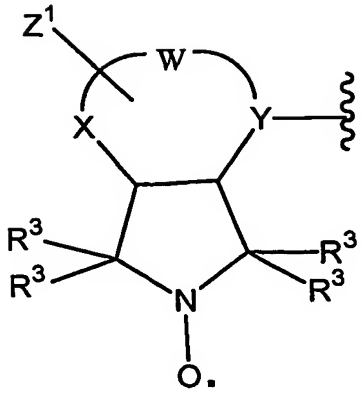
IIA has structure



in which R^3 is independently hydrogen or C_1-C_4 alkyl;

Y is selected from $(CH_2)_n$ while n being from 0 to 3, O, NH, S, substituted or unsubstituted acyl, acyloxy, alkynyl, alkene, alkyl, alkoxy, aryloxy, arylamine, arylsulphide, arylsulphone, or arylsulfurdioxide; X is selected from $(CH_m)_p$ while m being 2 or 3 and p from 0 to 3, O, -N=N-, S, NH, CH_3N-, substituted or unsubstituted acyl, acyloxy, alkynyl, alkene, alkyl, alkoxy, aryloxy, arylamine, arylsulphide, arylsulphone, arylsulfurdioxide; and Z^1 is H OH, ONO, ONO_2, SNO;

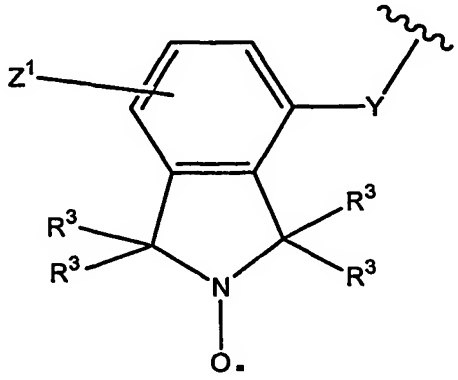
IIIA has structure



in which R^3 is independently hydrogen or C_1-C_4 alkyl;

W is is $(CH_m)_n$ while m being from 0 to 2 and n being from 0 to 3, where W may be substituted or unsubstituted.; X is selected from (CH_p) while p 1 or 2, O, -N=N-, S, and NH, or X is absent; Y is (CH) or N; and Z^1 is selected from H, OH, CH_2ONO, CH_2CH_2ONO, CH_2ONO_2, $CH_2CH_2ONO_2$, ONO, ONO_2, SNO, and NONOate; wherein IIIA may include 1-3 Z^1 substituents on the ring to which Z^1 is attached;

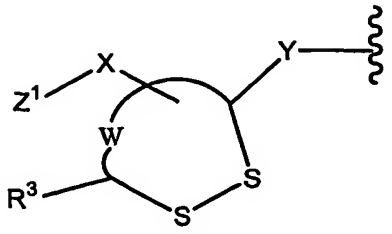
IVA has structure



in which R^3 may be independently hydrogen or C_1-C_4 alkyl; Y may be CH_2, O, NH, S, substituted or unsubstituted alkylene, or it can be absent; Z^1 may be CH_2ONO, CH_2CH_2ONO, CH_2ONO_2, $CH_2CH_2ONO_2$, NO, NO_2, ONO, ONO_2, SNO, or OH, wherein IVA

may include 1-3 of the Z^1 substituents on the ring to which Z^1 is attached;

VA has structure



in which R^3 is hydrogen or C_1-C_4 alkyl; W is $(CH_m)_n$ while m being from 0 to 2 and n being from 0 to 3, where W can be substituted or unsubstituted; X is selected from (CH_p) while p being 1 or 2, O, -N=N-, S, NH, CH_3N-,and substituted or unsubstituted alkylene, or X is absent; Y is selected from CH_2, O, NH, S or substituted or unsubstituted alkylene, or Y is absent; and Z^1 is OH, ONO, ONO_2, $N(NO)_2$, or SNO..

42. A multifunctional antagonist according to any on of claims 39 to 41, wherein R^{5A}, R^{5B}, R^{2A} and R^{2B} are selected as shown in Tables I, II, III, and IV

Table I

R^{5A}/R^{5B} or R^{2A}/R^{2B}
CH_3-
C_5H_9-
$C_6H_5SO_2O$-
CH_3CO-
$C_6H_5SO_2NH$-
$(C_6H_5SO_2)_2N$-
C_4H_8
C_5H_{10}
C_5H_{11}

Table II

R^{5A} or R^{2A}	R^{5B} or R^{2B}
CH_3-	-$C(CH_3)_3$
$(CH_3)_2CH$-	-$C(CH_3)_3$
$CH_3CH_2CH_2$-	-$C(CH_3)_3$
CH_3-	-$CH(CH_3)_2$
CH_3-	-$C(CH_3)_2CH_2OH$
$CH_3CH_2CH_2CH_2$-	-$C(CH_3)_3$
CH_3-	4-CF_3-Ph-
CH_3CH_2-	-$C(CH_3)_3$
CH_3-	-CH_3
CH_3-	3,4,5-tri(CH_3O-)Ph-

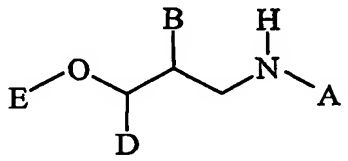
Table III

R^{5A} or R^{2A}	R^{5B} or R^{2B}
CH_3CH_2-	-$C(CH_3)_3$
$CH_3CH_2CH_2$-	-$C(CH_3)_3$
$CH_3CH_2CH_2CH_2$-	-$C(CH_3)_3$
$CH_3CH_2OC(O)CH_2CH_2$-	-$C(CH_3)_3$
$CH_3CH_2OC(O)CH_2$-	-$C(CH_3)_3$

Table IV

R^{5A} or R^{2A}	R^{5B} or R^{2B}
CH_3-	-$C(CH_3)_3$
CH_3-O-CH_2CH_2-	-$C(CH_3)_3$
CH_3-	-$CH_2CH_2CH(SCH_3)CH_3$

43. A multifunctional antagonist according to any one of claims 38 to 41, having formula III



wherein A is C_1-C_4 alkyl or ROS-scavenger group;

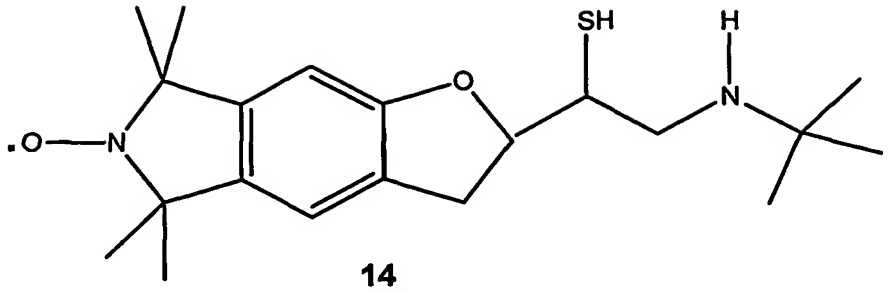
B is selected from OH, O-NO_2 and SH;

D is H, or D is $(CH_2)_2$ and is connected to E forming together with the neighboring atoms a 5-6 membered ring consisting of carbon atoms and one oxygen atom; and

E is phenyl condensed with optionally substituted phenyl or optionally substituted 5-6 membered heterocycle containing one of -N- , -O-, and –S-S-; or

E is thiadiazolyl substituted with morpholinyl or pyrrolidinyl-N-oxide, said morpholinyl being optionally substituted with one of OH, NO-donor group, and ROS-scavenger group, and said pyrrolidinyl-N-oxide group being bound to said thiadiazolyl vial -S- or via $-CH_2-O-$.

44. A mulrifunctional agonist according to claim 43, wherein said compound is selected from the group consisting of compounds nos. 14, 15, 20-75, 1', 2', and 7'-24' as shown below.



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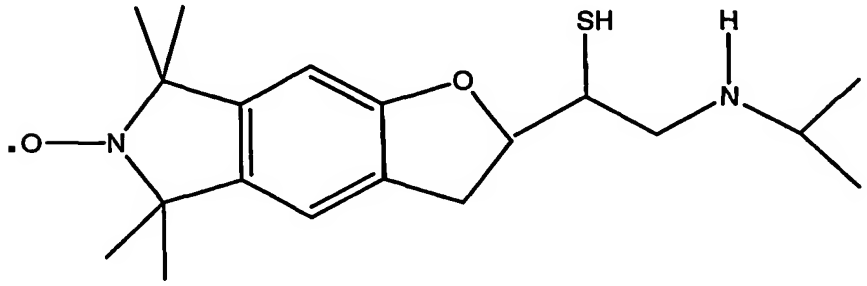

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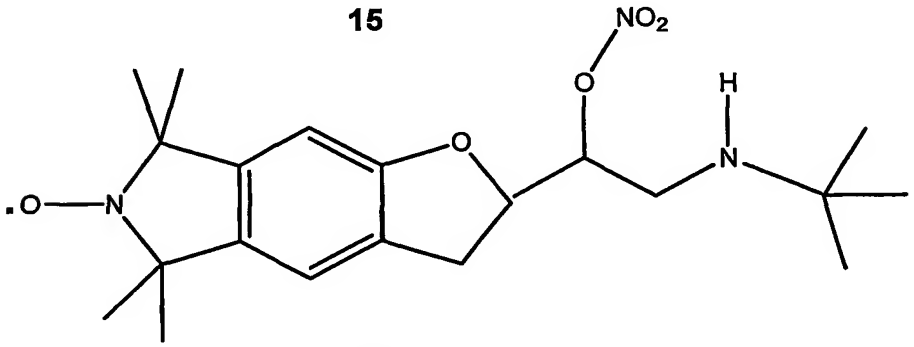

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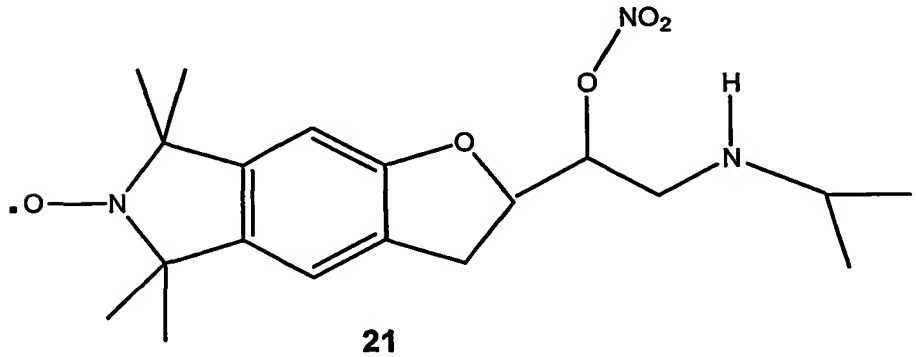

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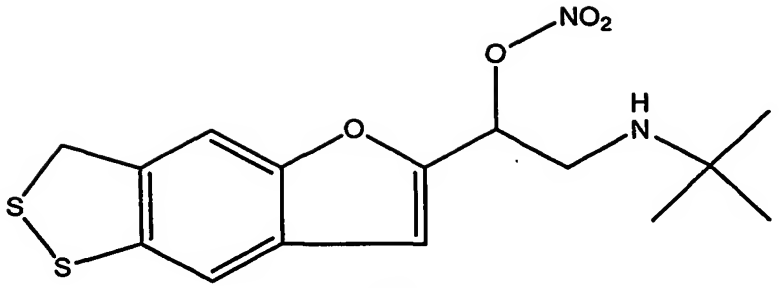

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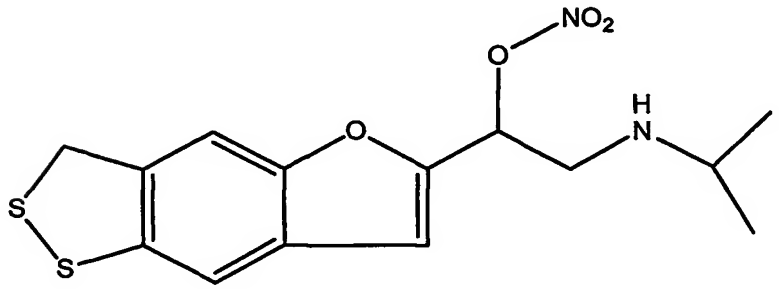

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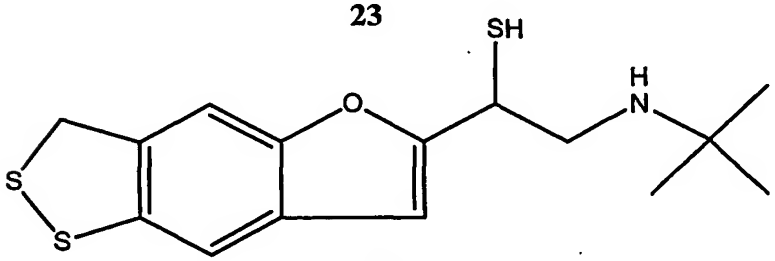

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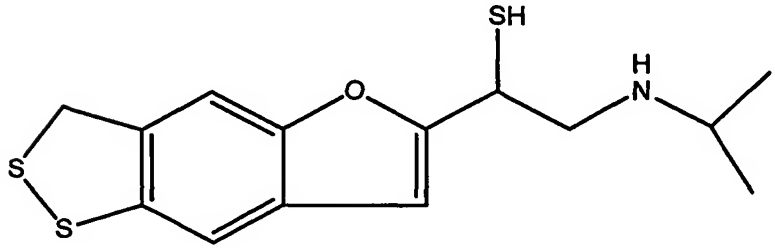

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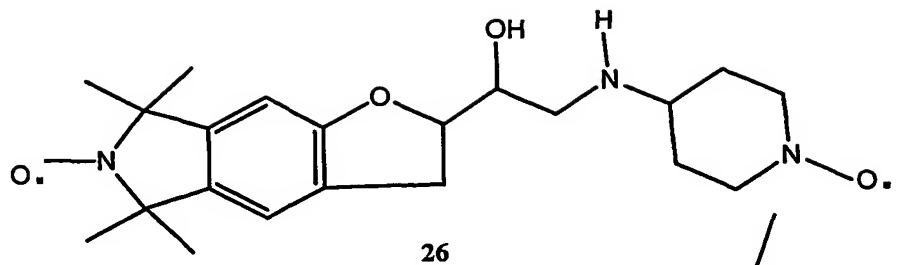

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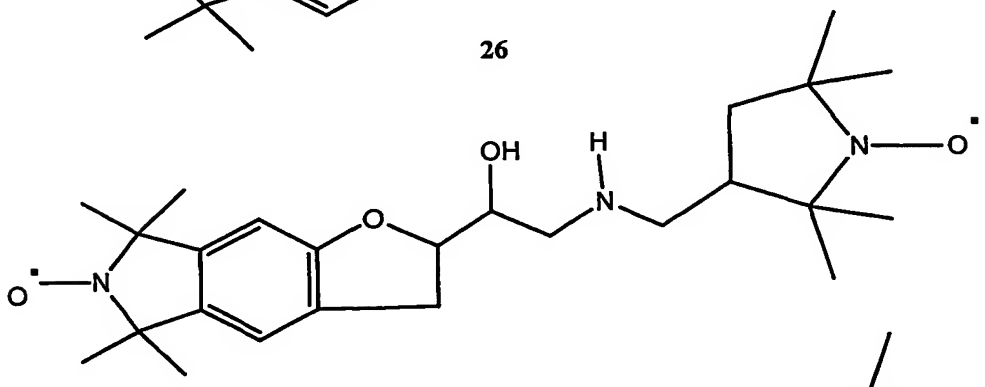

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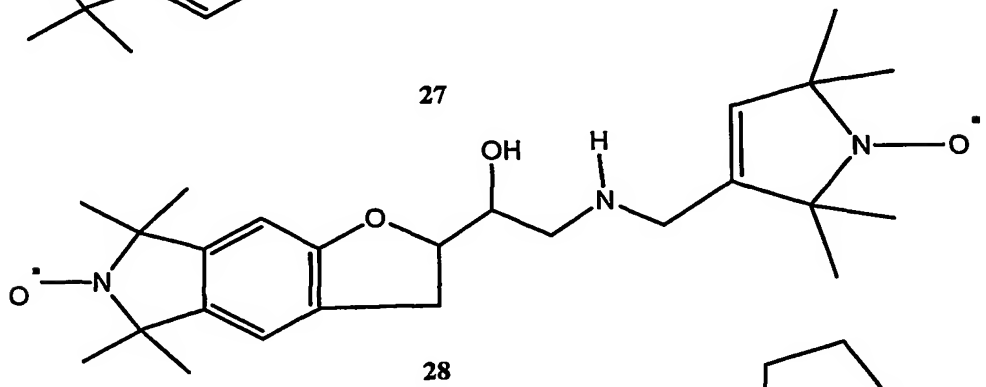

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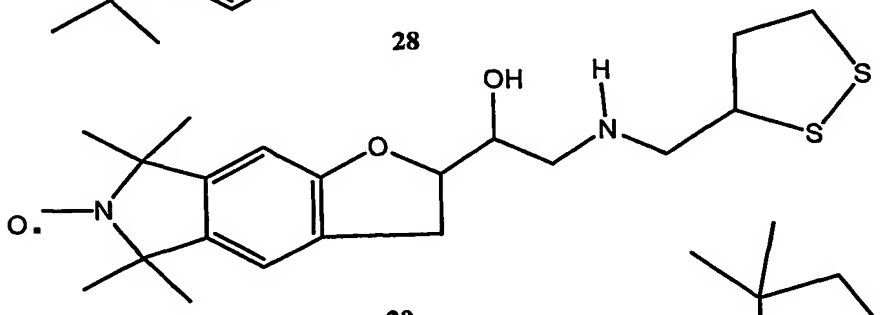

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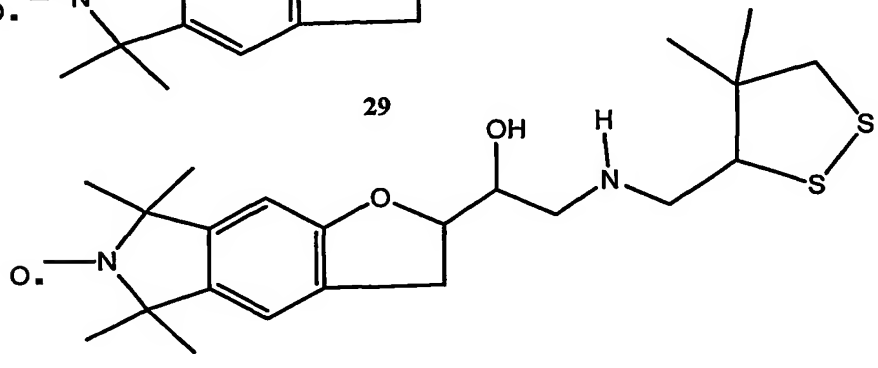

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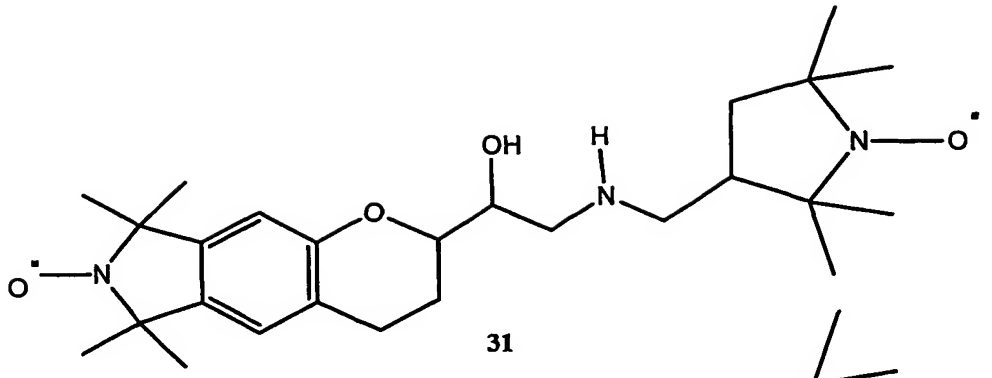

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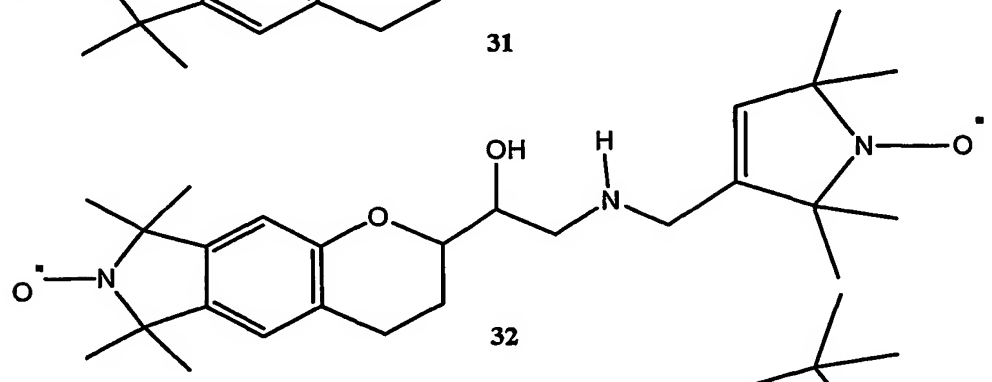

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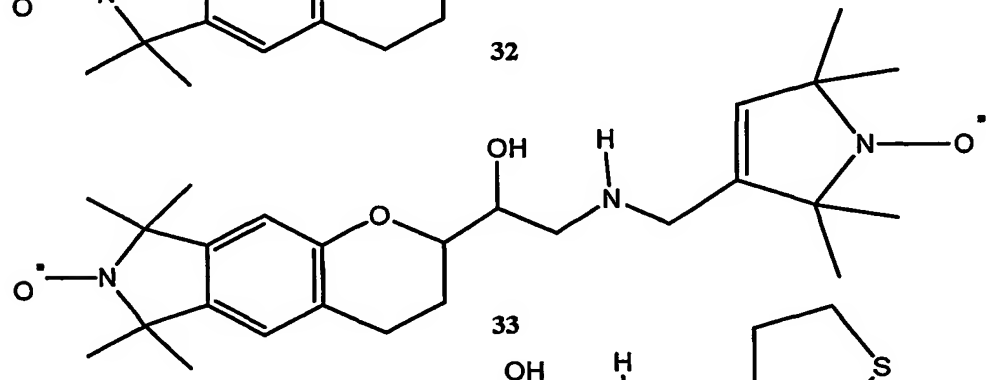

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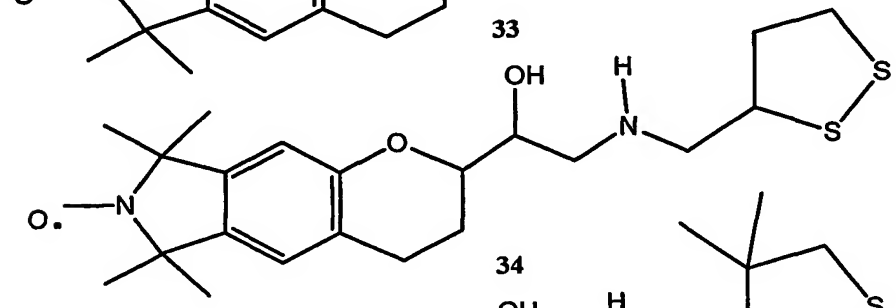

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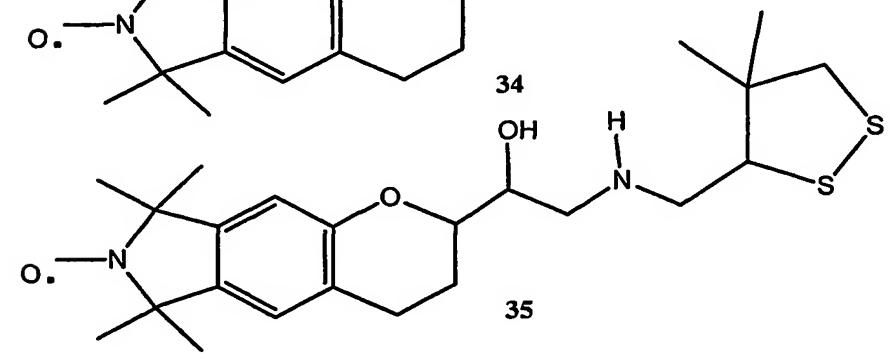

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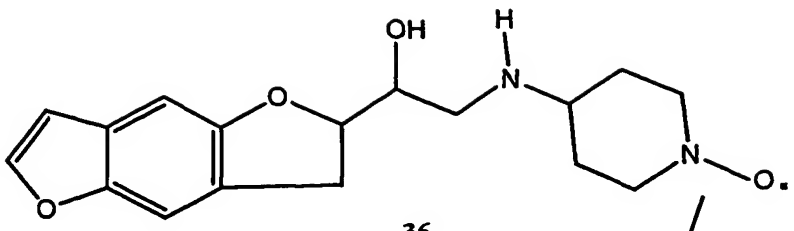

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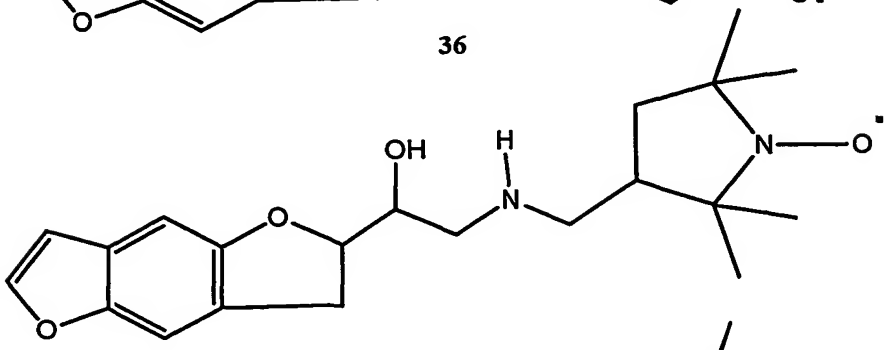

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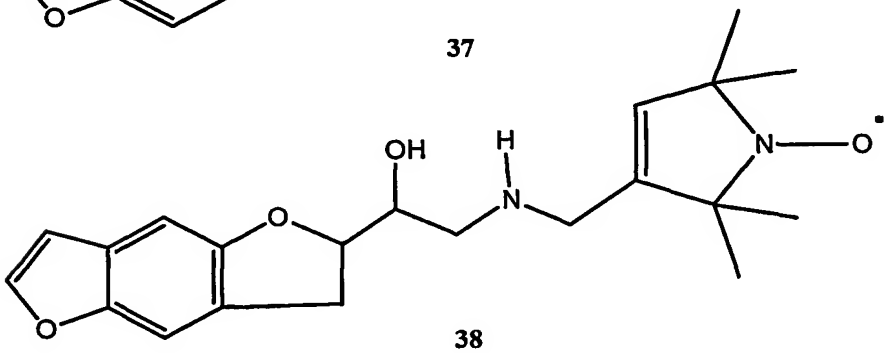

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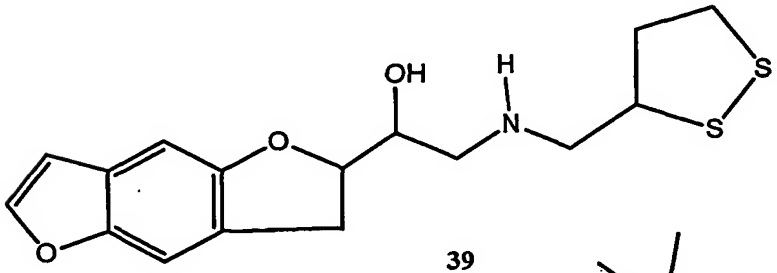

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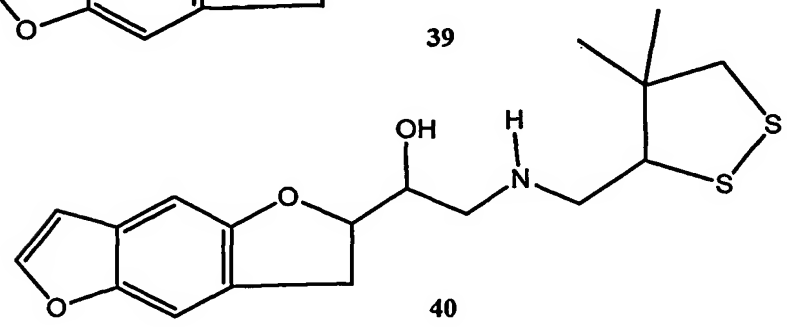

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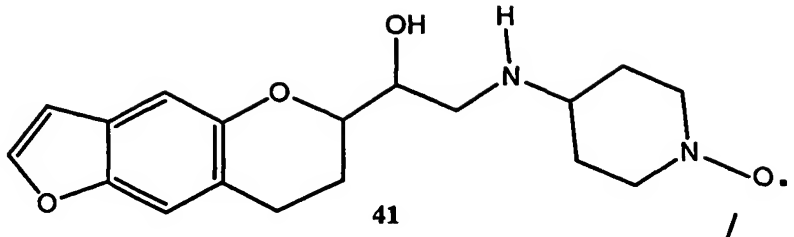

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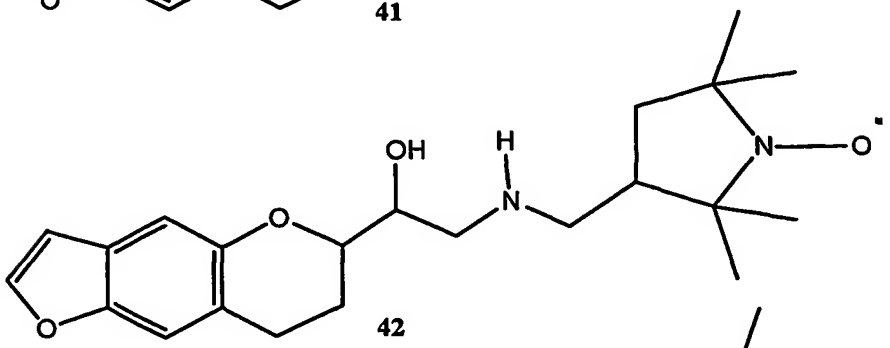

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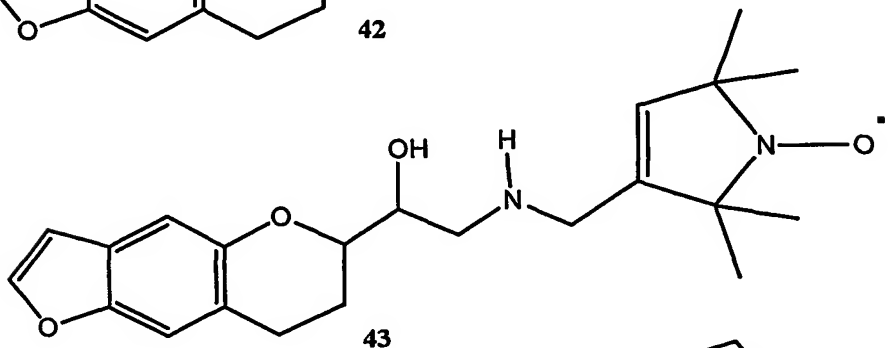

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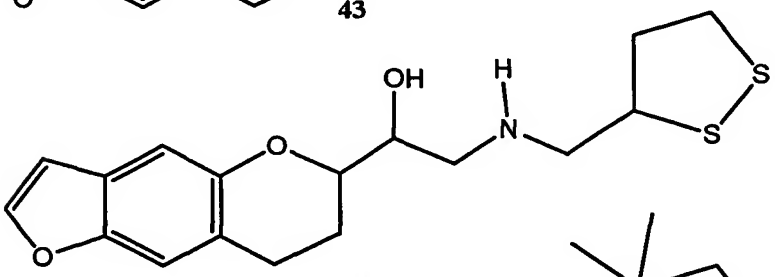

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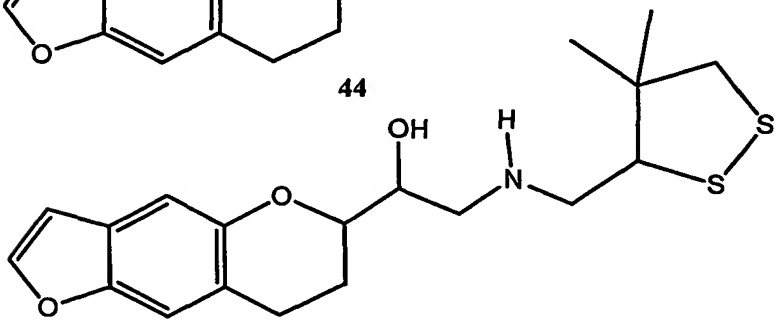

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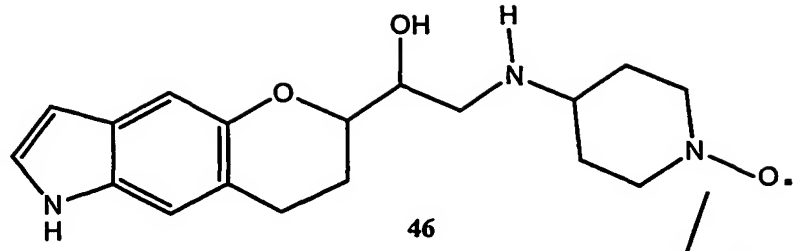

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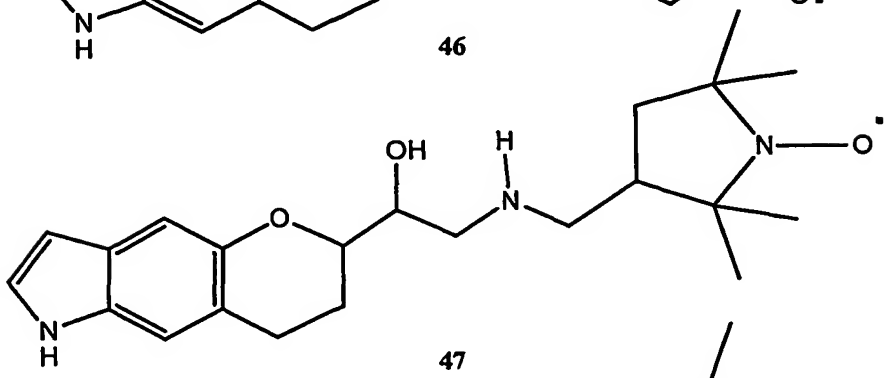

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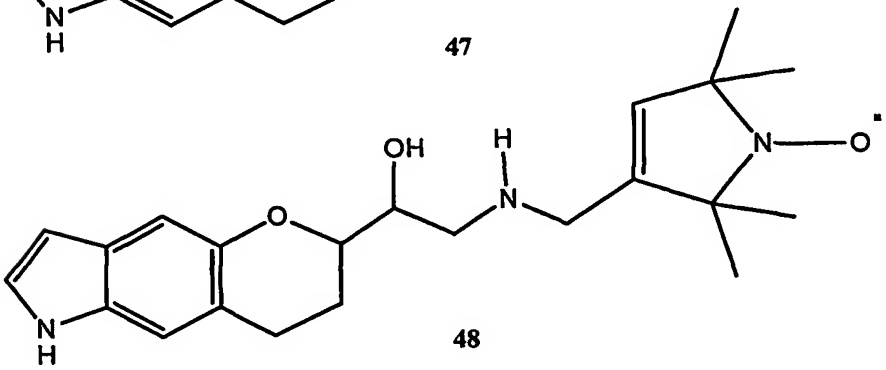

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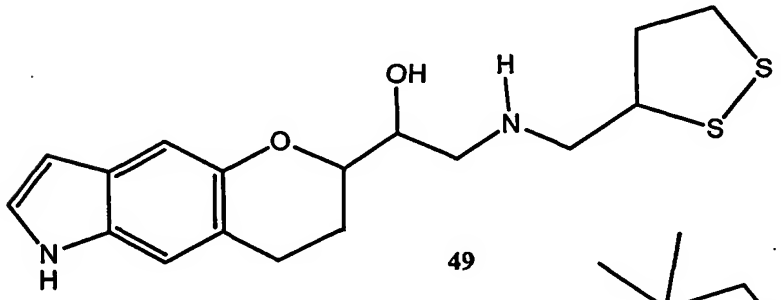

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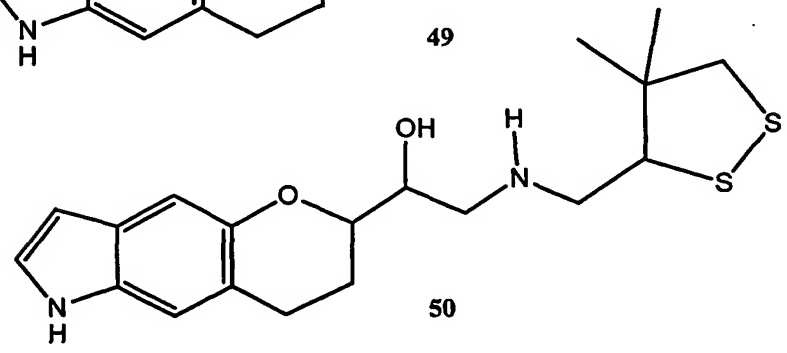

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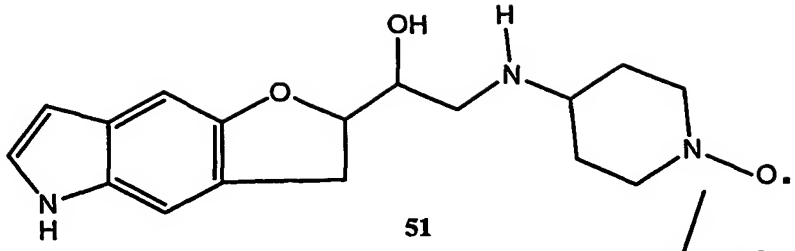

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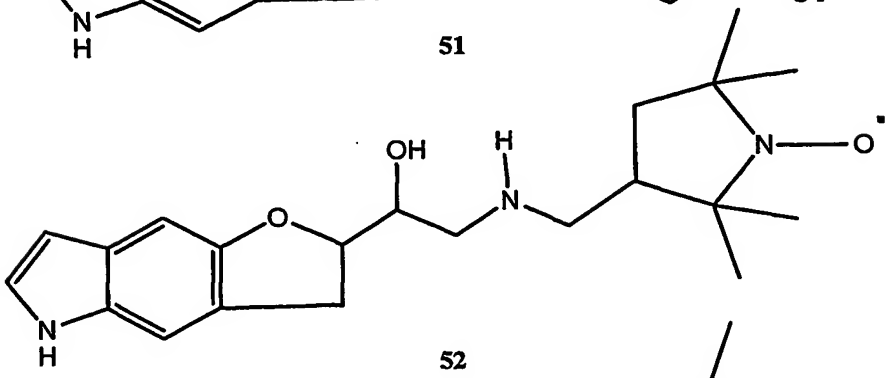

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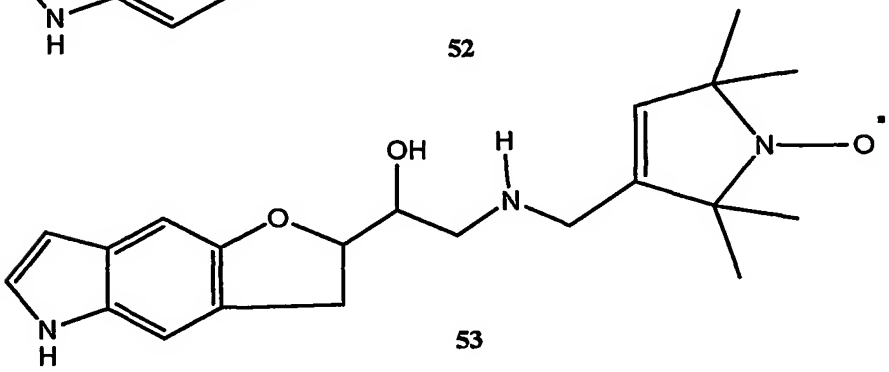

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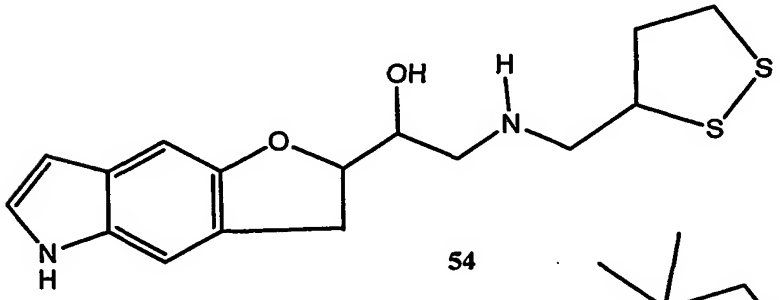

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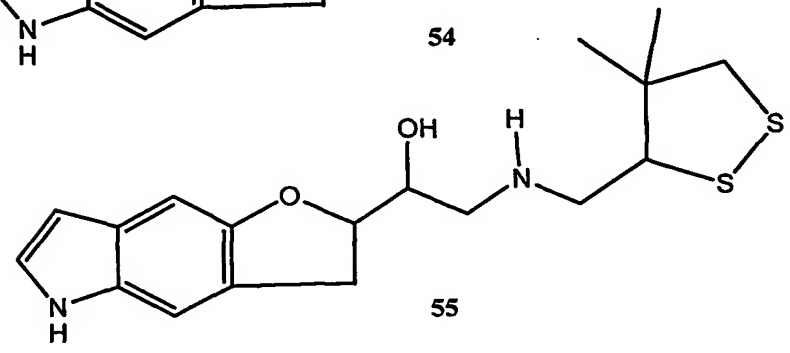

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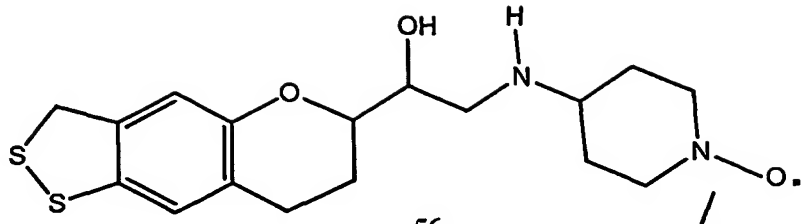

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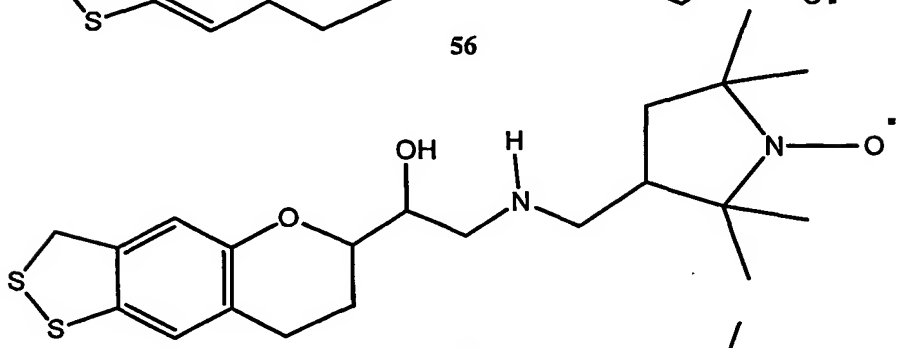

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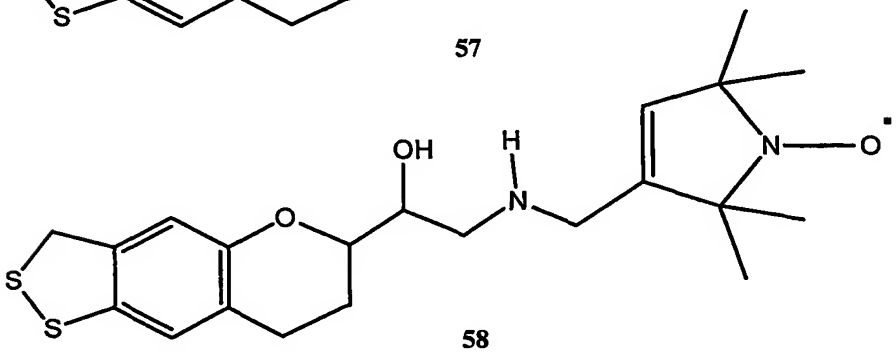

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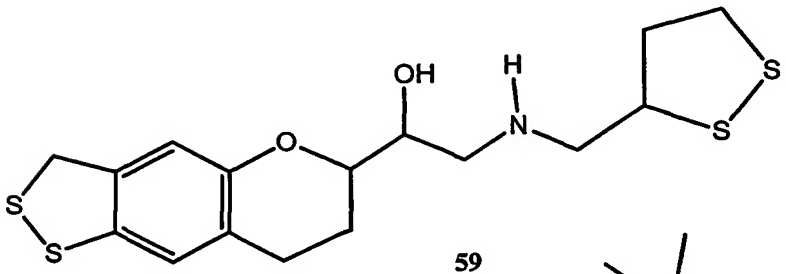

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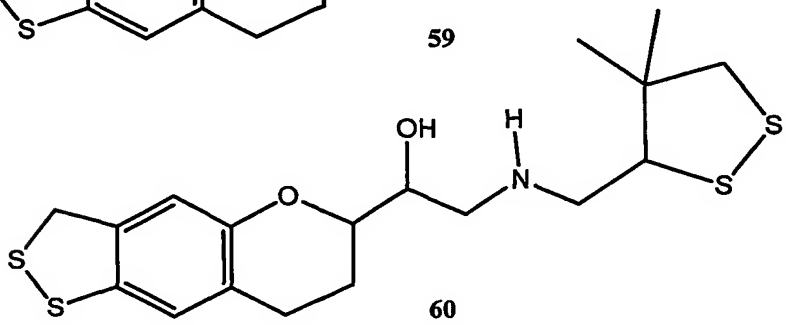

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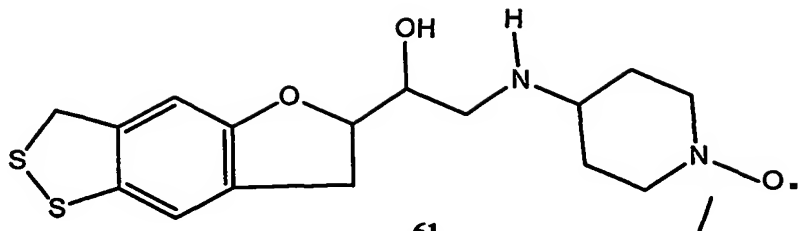

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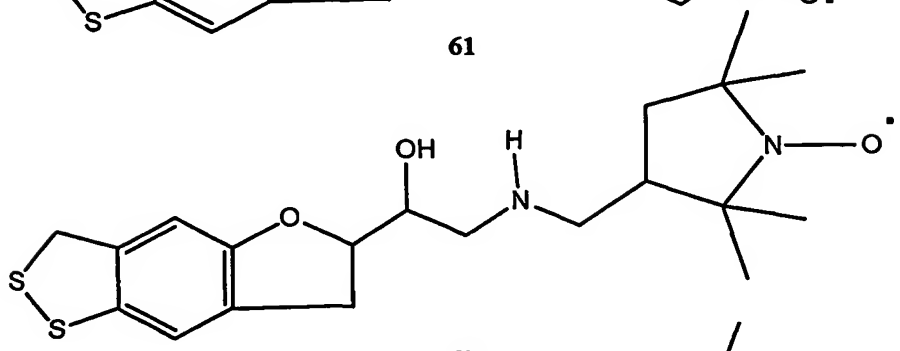

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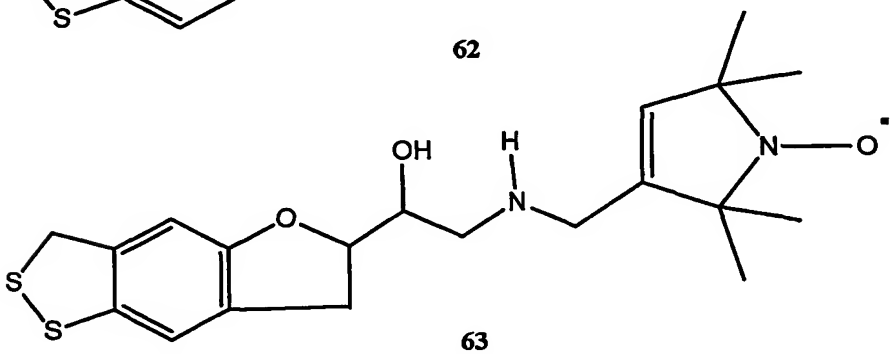

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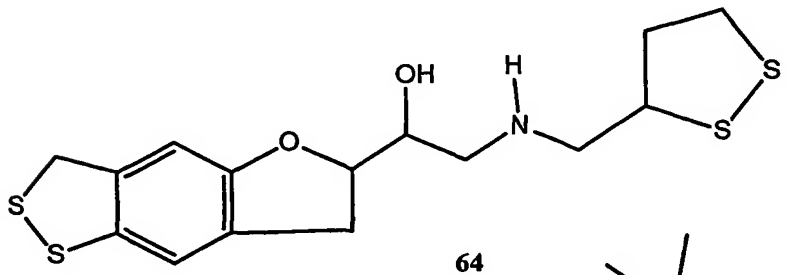

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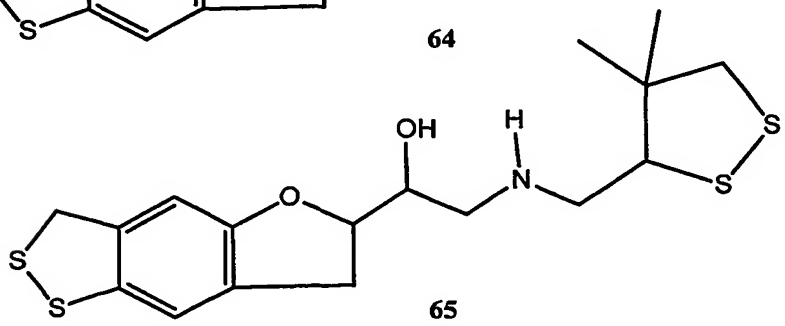

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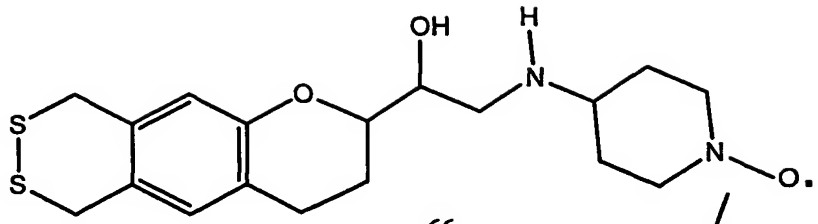

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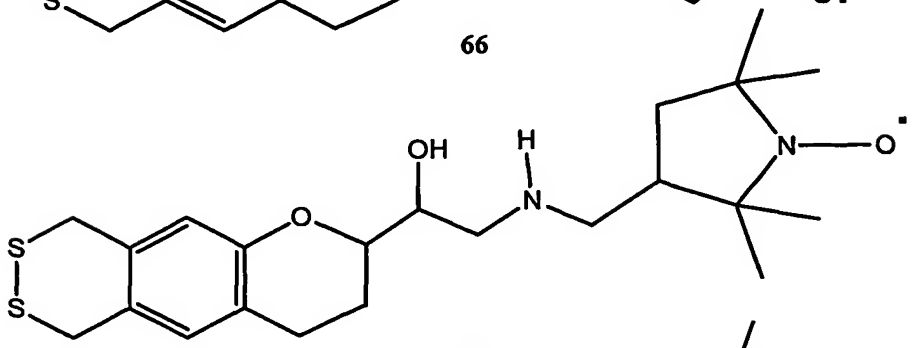

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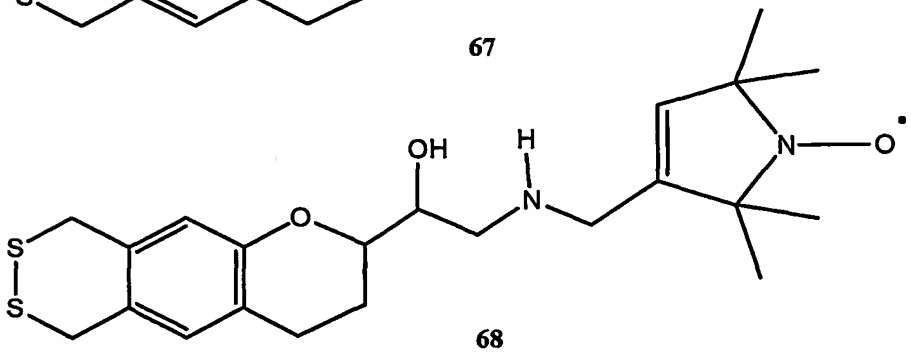

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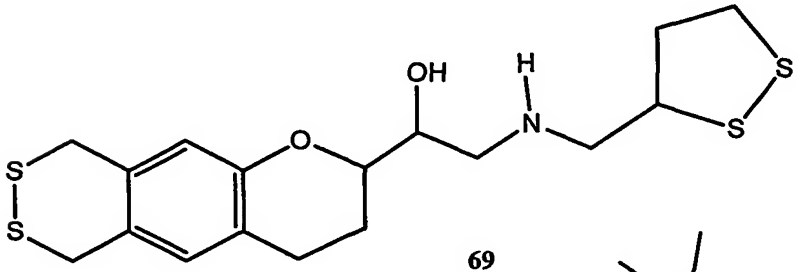

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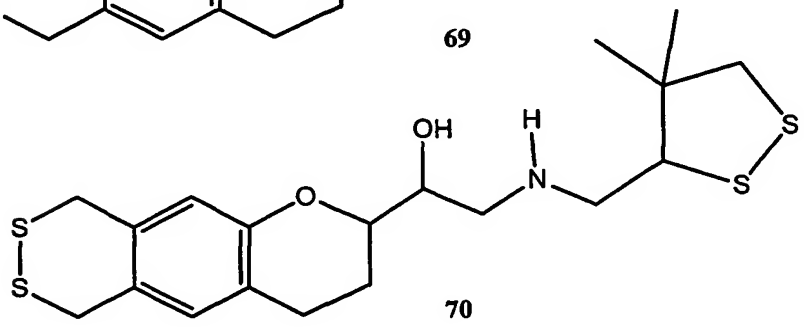

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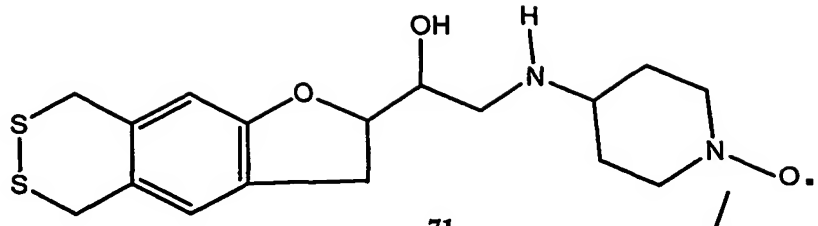

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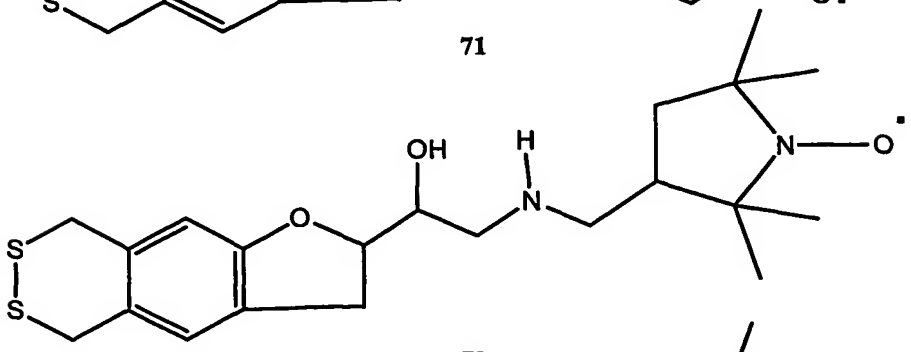

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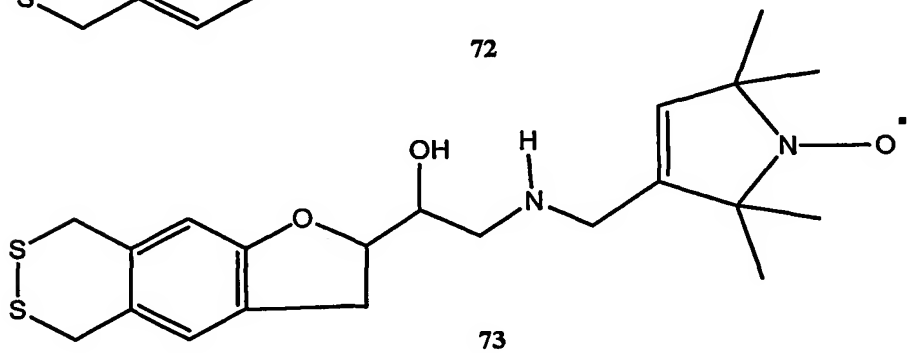

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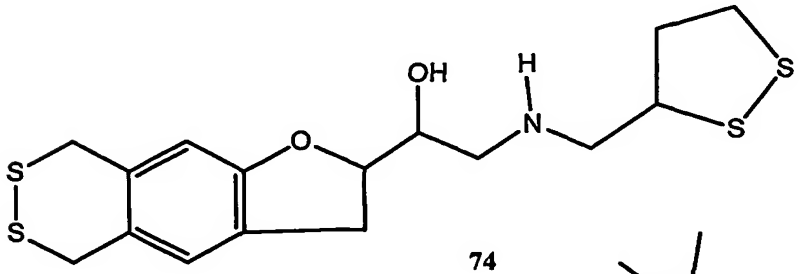

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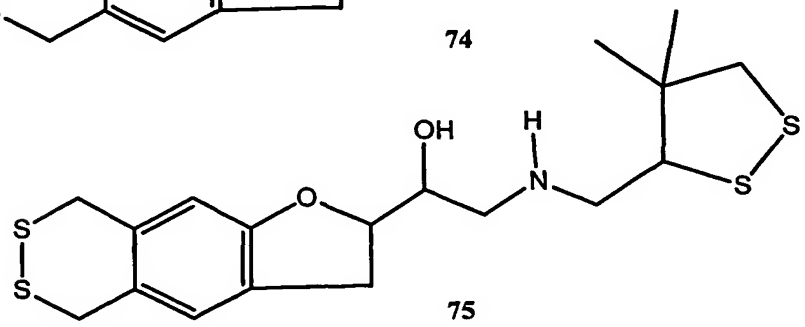

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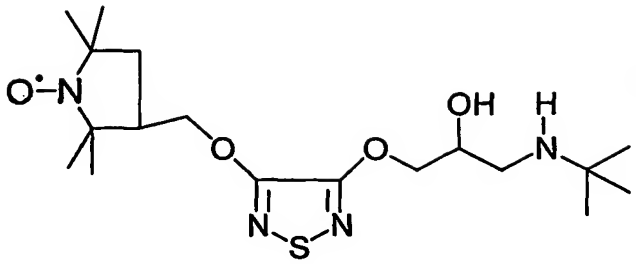

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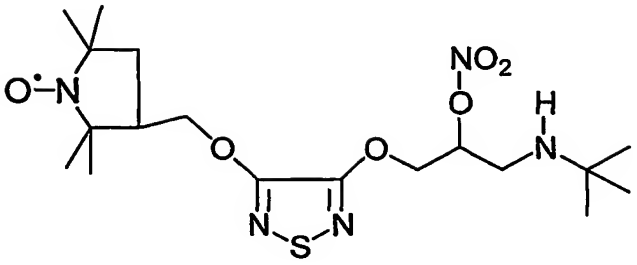

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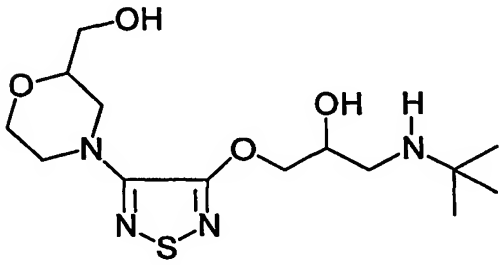

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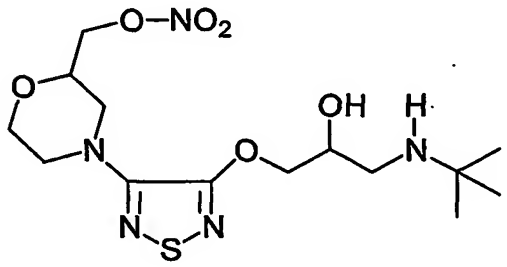

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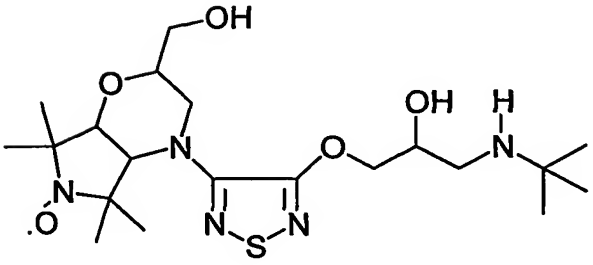

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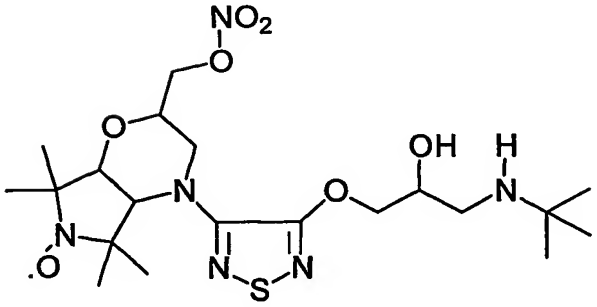

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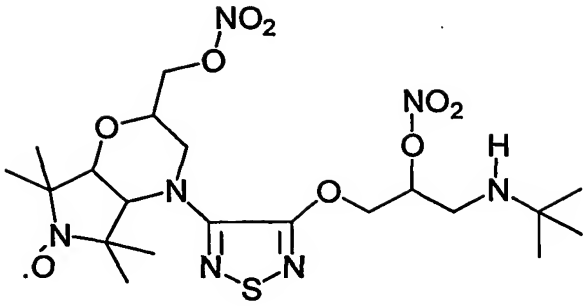

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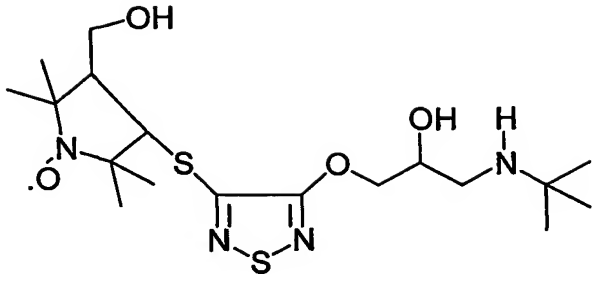

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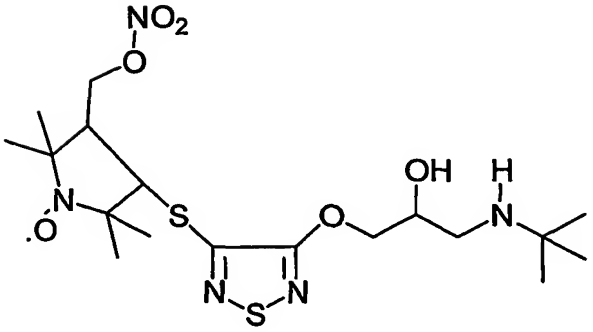

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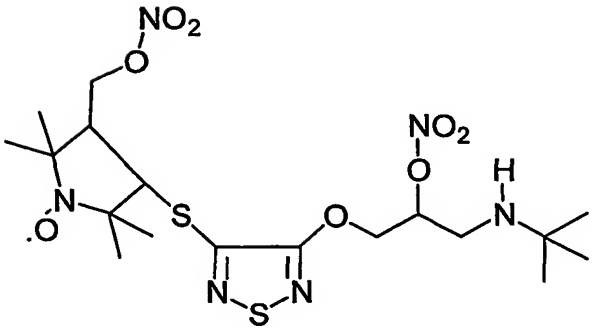

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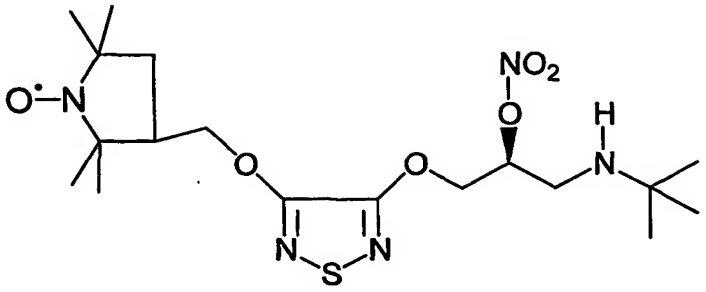

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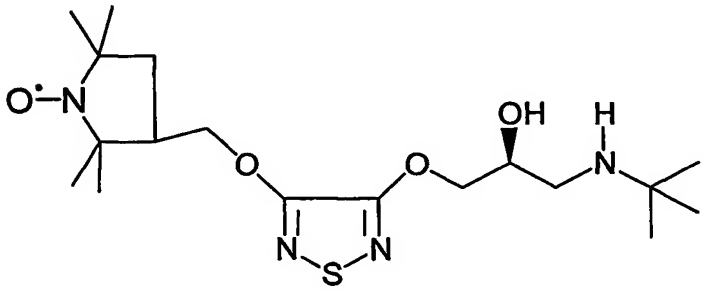

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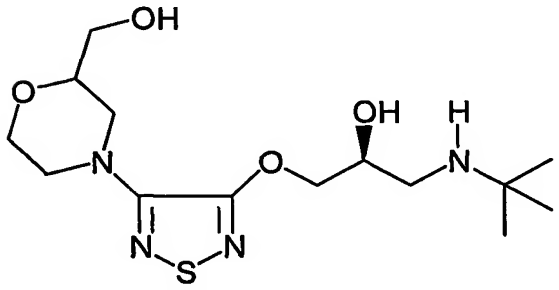

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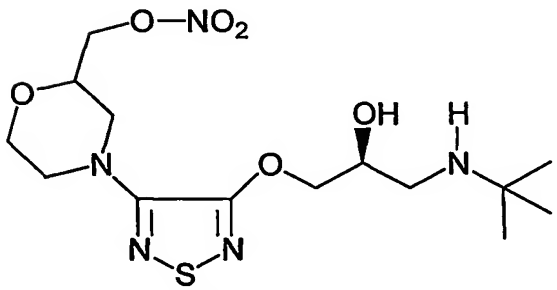

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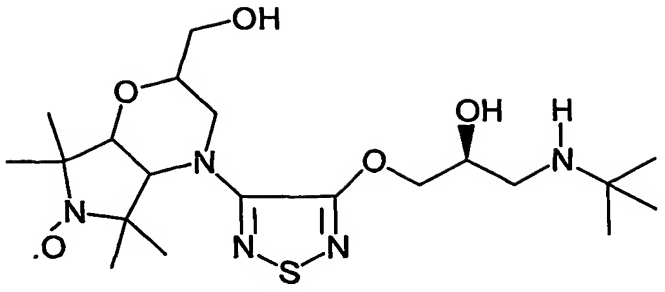

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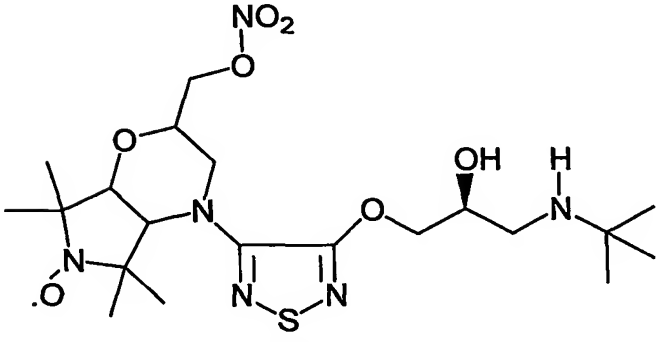

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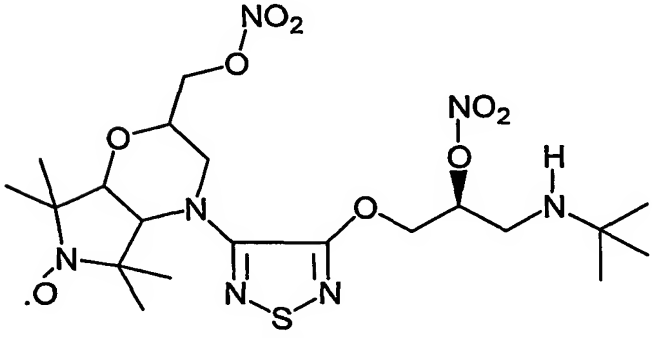

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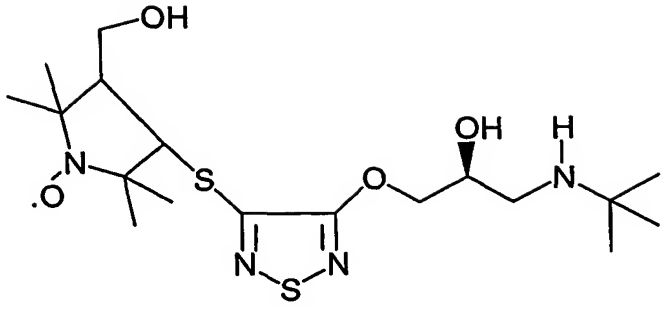

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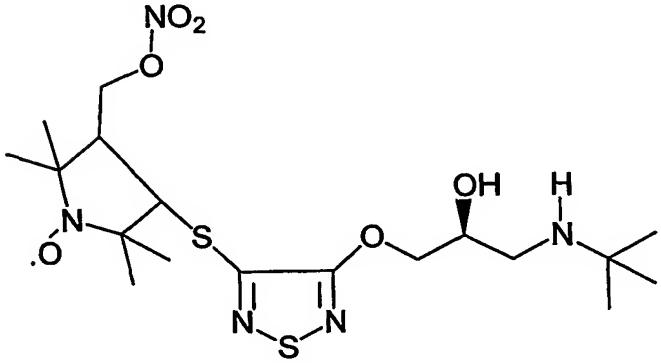

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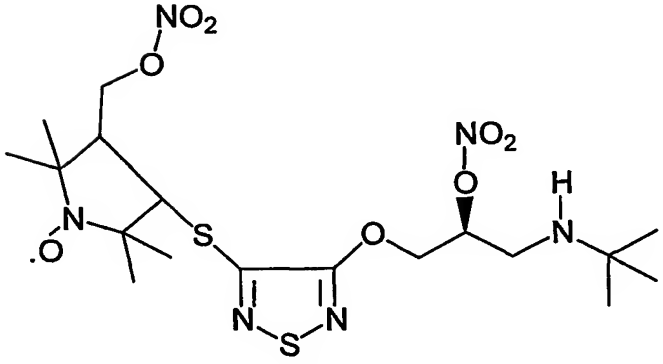

16

45. A pharmaceutical composition comprising a compound according to any one of claims 29 to 44, or a solvate, optical isomer, and salt thereof.

46. A pharmaceutical composition according to claim 45 further comprising a component selected from carrier, binding agent, stabilizer, adjuvant, diluent, excipient, surfactant, odorant, and a second pharmaceutically active agent.

47. A pharmaceutical composition according to claim 45, for use as a medicament for treating or preventing a disorder selected from the group consisting of disorders in which treatment with a β-antagonist is indicated, disorders associated with oxidative stress and free radical injury, and disorders in which treatment with a smooth muscle relaxant is indicated.

48. A pharmaceutical composition according to any one of claims 45 to 47, for use as a medicament for treating and preventing a disorder selected from the group consisting of cardiovascular, pulmonary, neurological, hormonal, and ocular.

49. A pharmaceutical composition according to any one of claims 45 to 47 for use as a medicament for treating and preventing a disorder selected from the group consisting of ischemia, ischemia-reperfusion tissue injury, acute and chronic inflammatory conditions, angina, atherosclerosis, impotence, hypertension, pulmonary hypertension, systemic hypertension, obesity or pregnancy-induced hypertension, palpitations, arrhythmias, cardiomyopathy, congestive heart failure, hyperthyroidism, anxiety, tremor, migraine, alcohol withdrawal, tachycardia, thyrotoxicosis, pheochromocytoma, esophageal varices, glaucoma, conditions associated with excess intraocular fluid, diabetes mellitus, and carcinogenesis.

50. A kit for administering a multifunctional β-adrenergic receptor antagonist compound comprising

i) a dosage amount of at least one compound comprising a component having β-blocker activity and a component having ROS-scavenging activity, wherein said two components are not identical,

ii) instructions for use, and

iii) optionally means for the delivery of said compound.